STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 119939

TO: Terra Gibbs
Location: REM-2C18
Art Unit: 1635
Tuesday, April 27, 2004

Case Serial Number: 09/965116

From: Barb O'Bryen
Location: Biotech-Chem Library
Remsen 1A69
Phone: 571-272-2518

barbara.obryen@uspto.gov

Search Notes

119939 = str 120530 = text

Access DB# _____

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Terra Gibbs Examiner #: 79523 Date: 4/21/04
Art Unit: 1635 Phone Number 3-0758 Serial Number: 09/965,116
Mail Box and Bldg/Room Location: 2C18 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

****

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Immune stimulatory oligonucleotide analogs

Inventors (please provide full names): Keown et al.

E Kandimalla Q Zhao D Yu S Agrawal

Earliest Priority Filing Date: 10/9/02

**For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

please search claims 1-8, these are the pending claims.

****

STAFF USE ONLY

Searcher: [illegible]
Searcher Phone #:
Searcher Location:
Date Searcher Picked Up: 4-27-04
Date Completed: 4-27-04
Searcher Prep & Review Time: str 45 text 20
Clerical Prep Time:
Online Time: 51 51

Type of Search

NA Sequence (#)
AA Sequence (#)
Structure (#) 3
Bibliographic 8
Litigation
Fulltext
Patent Family
Other

Vendors and cost where applicable

STN str 304 text 356
Dialog
Questel/Orbit
Dr.Link
Lexis/Nexis
Sequence Systems
WWW/Internet
Other (specify)

PTO-1590 (8-01)

STIC SEARCH RESULTS FEEDBACK FORM

Biotech-Chem Library

Questions about the scope or the results of the search? Contact ***the searcher or contact***:

Mary Hale, Information Branch Supervisor
Remsen Bldg. 01 D86
571-272-2507

Voluntary Results Feedback Form

- *I am an examiner in Workgroup:* ☐ *Example: 1610*
- *Relevant prior art **found**, search results used as follows:*
  - ☐ 102 rejection
  - ☐ 103 rejection
  - ☐ Cited as being of interest.
  - ☐ Helped examiner better understand the invention.
  - ☐ Helped examiner better understand the state of the art in their technology.

Types of relevant prior art found:

- ☐ Foreign Patent(s)
- ☐ Non-Patent Literature
  (journal articles, conference proceedings, new product announcements etc.)

- *Relevant prior art **not found**:*
  - ☐ Results verified the lack of relevant prior art (helped determine patentability).
  - ☐ Results were not useful in determining patentability or understanding the invention.

Comments:

Drop off or send completed forms to STIC-Biotech-Chem Library/Remsen Bldg.

```
=> fil reg; d stat que l65; d que nos l67
FILE 'REGISTRY' ENTERED AT 15:37:59 ON 27 APR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   25 APR 2004  HIGHEST RN 676591-92-7
DICTIONARY FILE UPDATES:  25 APR 2004  HIGHEST RN 676591-92-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

L51             STR
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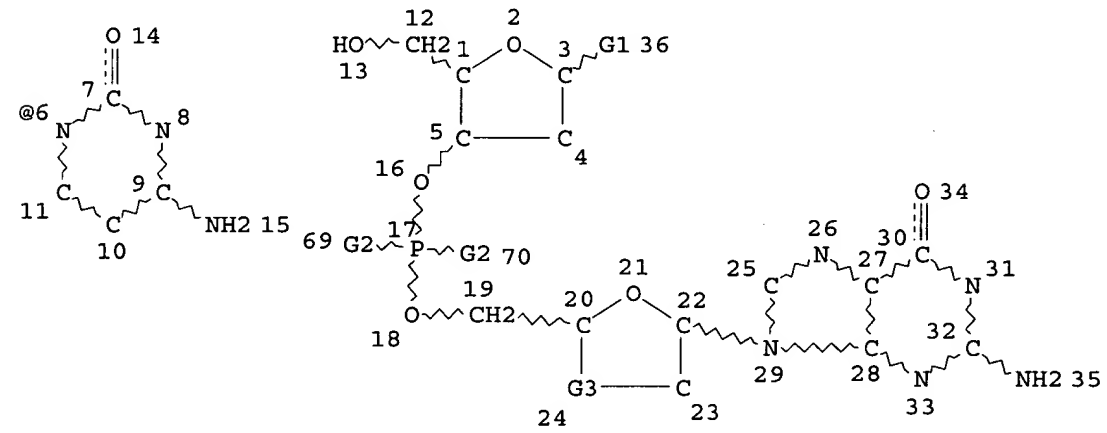
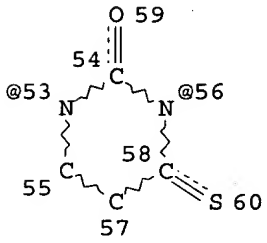
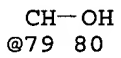
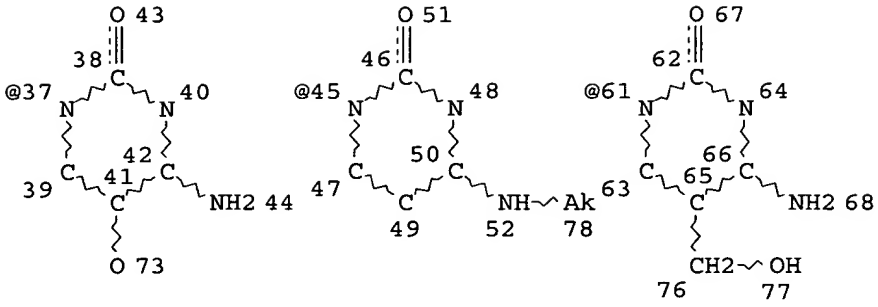




O @71 S @72





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CONNECT IS E1 RC AT 72
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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 78

STEREO ATTRIBUTES: NONE
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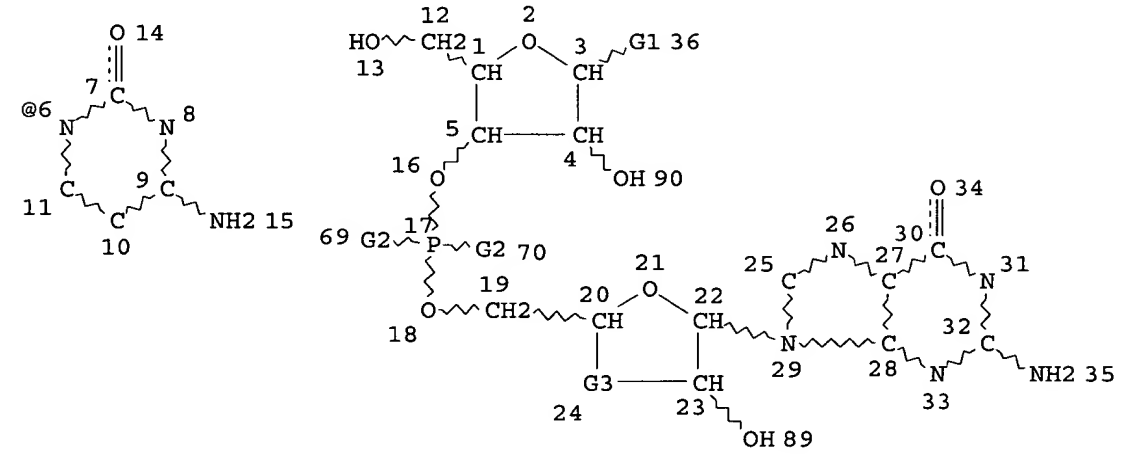
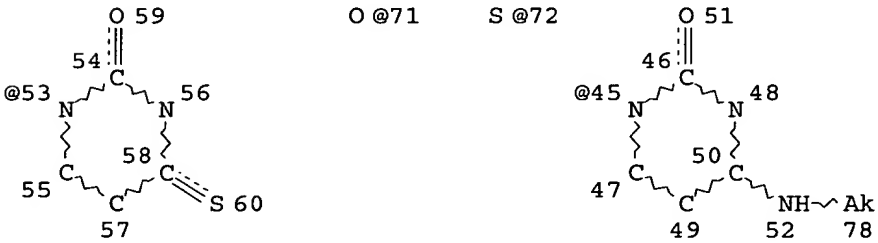
L63 STR





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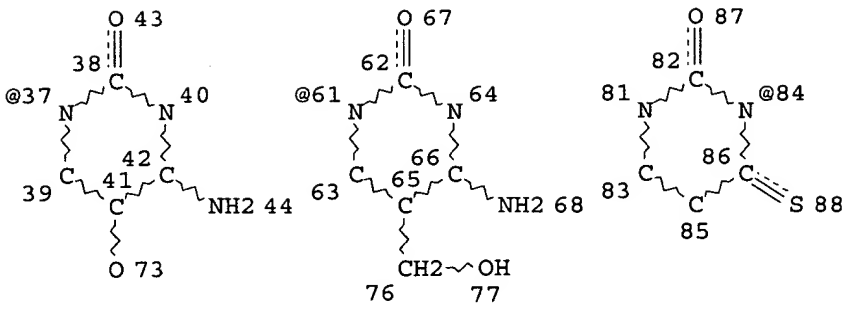
CH—OH
@79 80

Page 1-A



Page 2-A
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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 88

STEREO ATTRIBUTES: NONE
L65 26 SEA FILE=REGISTRY SUB=L58 SSS FUL L63

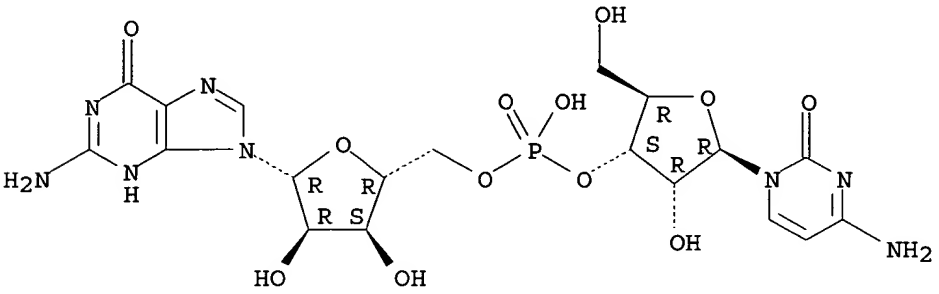
100.0% PROCESSED 57 ITERATIONS 26 ANSWERS
SEARCH TIME: 00.00.01

L67 1 SEA FILE=REGISTRY ABB=ON 2382-65-2

=> d ide l67

L67 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2004 ACS on STN
RN **2382-65-2** REGISTRY
CN Guanosine, cytidylyl-(3'.fwdarw.5')- (7CI, 8CI, 9CI) (CA INDEX NAME)
OTHER NAMES:
CN (3'-5')CpG
CN Cytidine, guanylyl-(5'.fwdarw.3')-
CN Cytidylyl-(3',5')-guanosine
CN Cytidylylguanosine
CN Guanosine cytidine 3',5'-monophosphate
FS STEREOSEARCH
DR 122138-10-7, 72507-03-0
MF C19 H25 N8 O12 P
CI COM
LC STN Files: BEILSTEIN*, BIOSIS, CA, CANCERLIT, CAOLD, CAPLUS, CHEMCATS, CHEMLIST, EMBASE, MEDLINE, TOXCENTER, USPAT2, USPATFULL
(*File contains numerically searchable property data)
Other Sources: EINECS**
(**Enter CHEMLIST File for up-to-date regulatory information)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

539 REFERENCES IN FILE CA (1907 TO DATE)
58 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
540 REFERENCES IN FILE CAPLUS (1907 TO DATE)
12 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

=> d que nos l68
L51 STR
L58 103 SEA FILE=REGISTRY SSS FUL L51
L63 STR
L65 26 SEA FILE=REGISTRY SUB=L58 SSS FUL L63
L67 1 SEA FILE=REGISTRY ABB=ON 2382-65-2
L68 25 SEA FILE=REGISTRY ABB=ON L65 NOT L67

=> fil capl; d que nos l69; fil toxcenter; d que nos l108; fil uspatf; d que nos l70; fil cao;d que nos l68
FILE 'CAPLUS' ENTERED AT 15:38:42 ON 27 APR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 27 Apr 2004 VOL 140 ISS 18
FILE LAST UPDATED: 26 Apr 2004 (20040426/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE

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L58         103 SEA FILE=REGISTRY SSS FUL L51
L63             STR
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L68          25 SEA FILE=REGISTRY ABB=ON  L65 NOT L67
L69          24 SEA FILE=CAPLUS ABB=ON  L68
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FILE 'TOXCENTER' ENTERED AT 15:38:42 ON 27 APR 2004
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FILE COVERS 1907 TO 20 Apr 2004 (20040420/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

TOXCENTER has been enhanced with new files segments and search fields. See HELP CONTENT for more information.

TOXCENTER thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2004 vocabulary. See http://www.nlm.nih.gov/mesh/ and http://www.nlm.nih.gov/pubs/techbull/nd03/nd03_mesh.html for a description of changes.

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L51             STR
L58         103 SEA FILE=REGISTRY SSS FUL L51
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L108          3 SEA FILE=TOXCENTER ABB=ON  L68
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FILE 'USPATFULL' ENTERED AT 15:38:42 ON 27 APR 2004
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 27 Apr 2004 (20040427/PD)
FILE LAST UPDATED: 27 Apr 2004 (20040427/ED)

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HIGHEST GRANTED PATENT NUMBER: US6728968
HIGHEST APPLICATION PUBLICATION NUMBER: US2004078858
CA INDEXING IS CURRENT THROUGH 27 Apr 2004 (20040427/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 27 Apr 2004 (20040427/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2004
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2004

>>>  USPAT2 is now available.  USPATFULL contains full text of the     <<<
>>>  original, i.e., the earliest published granted patents or         <<<
>>>  applications.  USPAT2 contains full text of the latest US         <<<
>>>  publications, starting in 2001, for the inventions covered in     <<<
>>>  USPATFULL.  A USPATFULL record contains not only the original     <<<
>>>  published document but also a list of any subsequent              <<<
>>>  publications.  The publication number, patent kind code, and      <<<
>>>  publication date for all the US publications for an invention     <<<
>>>  are displayed in the PI (Patent Information) field of USPATFULL   <<<
>>>  records and may be searched in standard search fields, e.g., /PN, <<<
>>>  /PK, etc.                                                         <<<

>>>  USPATFULL and USPAT2 can be accessed and searched together        <<<
>>>  through the new cluster USPATALL.  Type FILE USPATALL to          <<<
>>>  enter this cluster.                                               <<<
>>>                                                                    <<<
>>>  Use USPATALL when searching terms such as patent assignees,       <<<
>>>  classifications, or claims, that may potentially change from      <<<
>>>  the earliest to the latest publication.                           <<<

This file contains CAS Registry Numbers for easy and accurate
substance identification.


L51             STR
L58         103 SEA FILE=REGISTRY SSS FUL L51
L63             STR
L65          26 SEA FILE=REGISTRY SUB=L58 SSS FUL L63
L67           1 SEA FILE=REGISTRY ABB=ON  2382-65-2
L68          25 SEA FILE=REGISTRY ABB=ON  L65 NOT L67
L70           0 SEA FILE=USPATFULL ABB=ON  L68



=> fil cao; s l68
FILE 'CAOLD' ENTERED AT 15:40:01 ON 27 APR 2004
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FILE COVERS 1907-1966
FILE LAST UPDATED:  01 May 1997 (19970501/UP)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.  Title keywords, authors, patent
  assignees, and patent information, e.g., patent numbers, are
  now searchable from 1907-1966.  TIFF images of CA abstracts
  printed between 1907-1966 are available in the PAGE
  display formats.

  This file supports REG1stRY for direct browsing and searching of
  all substance data from the REGISTRY file.  Enter HELP FIRST for
  more information.
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L109 0 L68

=> dup rem l69,l108
FILE 'CAPLUS' ENTERED AT 15:40:09 ON 27 APR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE 'TOXCENTER' ENTERED AT 15:40:09 ON 27 APR 2004
COPYRIGHT (C) 2004 ACS
PROCESSING COMPLETED FOR L69
PROCESSING COMPLETED FOR L108
L110 24 DUP REM L69 L108 (3 DUPLICATES REMOVED)
ANSWERS '1-24' FROM FILE CAPLUS

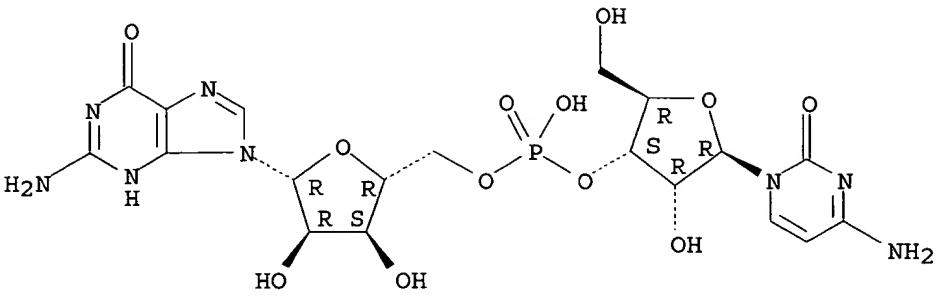
=> d ibib ed abs hitstr 1-24

L110 ANSWER 1 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 1
ACCESSION NUMBER: 1987:207299 CAPLUS
DOCUMENT NUMBER: 106:207299
TITLE: FT-IR spectroscopic evidence of sugar ring conformational changes in GpC and CpG on platination and intercalation
AUTHOR(S): Okamoto, Koji; Benham, Victor; Theophanides, Theophile
CORPORATE SOURCE: Dep. Chem., Univ. Montreal, Montreal, QC, H3C 3J7, Can.
SOURCE: Inorganica Chimica Acta (1987), 135(3), 207-10
CODEN: ICHAA3; ISSN: 0020-1693
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 26 Jun 1987
AB An FT-IR spectroscopic study concerning changes in the conformation of sugar in the dinucleotides GpC [4785-04-0] and CpG [2382-65-2] on platination and intercalation is presented. The results are compared with the FT-IR spectral data of 5'-CMP [63-37-6], GMP [85-32-5], 3'-GMP [117-68-0] and their metal adducts. The spectra of free GpC, free CpG, proflavine-GpC [107022-01-5], proflavine-CpG [**79328-21-5**], and cis-[Pt(NH3)2(GpC)2] [92269-81-3] exhibit the diagnostic band at 800/cm which was assigned to a sugar phosphate vibrational mode and diagnostic of C3'-endo sugar pucker. In the case of 9-aminoacridine-GpC [108402-39-7] and cis-[Pt(NH3)2(CpG]+ [92344-06-4] the diagnostic bands of the C2'-endo and C3'-endo conformations are obsd. at 810-820 and near 800/cm, resp. The results are in good agreement with x-ray data. The IR diagnostic bands are important for distinguishing the sugar pucker conformational changes. As a conclusion, it seems that the binding of the anticancer drugs (intercalating or chem. bound) with d(GpG), d(GpC), or d(CpG) sequences in DNA may destroy the backbone sugar conformation of DNA by changing the sugar pucker to accommodate the strain caused by the presence of the drug.
IT **79328-21-5**
RL: PRP (Properties)
(sugar ring conformation of, spectroscopic study of)
RN 79328-21-5 CAPLUS
CN Guanosine, cytidylyl-(3'.fwdarw.5')-, compd. with 3,6-acridinediamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 2382-65-2
CMF C19 H25 N8 O12 P

Absolute stereochemistry.



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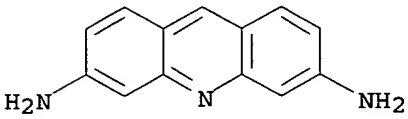
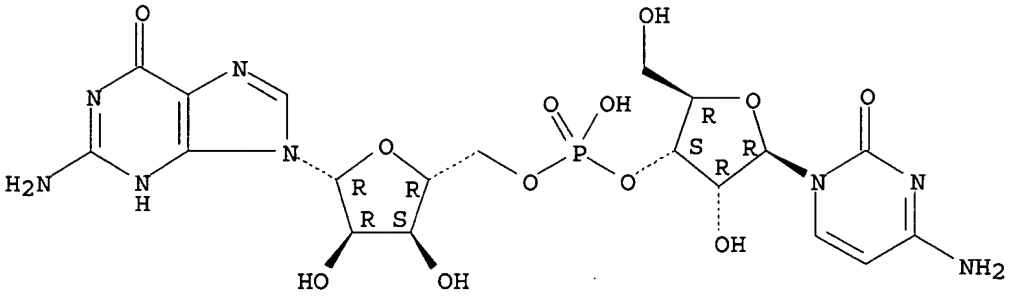
CRN 92-62-6
CMF C13 H11 N3



L110 ANSWER 2 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 2
ACCESSION NUMBER: 1984:603970 CAPLUS
DOCUMENT NUMBER: 101:203970
TITLE: Cytidylyl (3'-5')guanosine dinucleotides give two platinum chelates with cis-diamminedichloroplatinum that are cytidine syn-anti conformational isomers
AUTHOR(S): Girault, Jean Pierre; Chottard, Genevieve; Lallemand, Jean Yves; Huguenin, Frederic; Chottard, Jean Claude
CORPORATE SOURCE: Lab. Chim., Ec. Norm. Super., Paris, 75231, Fr.
SOURCE: Journal of the American Chemical Society (1984), 106(23), 7227-32
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English
AB CpG ammonium salt **[27553-01-1]** and d(pCpG) ammonium salt [92269-83-5] react with cis[PtCl2(NH3)2] (cis-DDP) [15663-27-1] or cis-[Pt(NH3)2(H2O)2](NO3)2 [52241-26-6] to yield the resp. (CN3-GN7)-(cis-Pt(NH3)22+) adducts. Reaction of CpG with [PtBr(dien)]Br [15633-95-1] and monitoring the reaction with cis-DDP and its diaqua deriv. indicates that the formation of the adduct is a 2-step process starting with N7-platination of the guanine residue. The ribo- and deoxy-(C-G).cntdot.cis-Pt chelates exist as C(anti)-G(anti) and C(syn)-G(anti) isomers; CD spectra of these diastereoisomers present a remarkable sign-inversion which can be related to their pseudohelical arrangement. These and other observations demonstrated that an equilibration process exists between the 2 isomeric Pt-chelates attributable to the rotation of the cytosine residue about its glycosidic N3-Pt bonds.
IT **27553-01-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(chelation of, with diamminedichloroplatinum)
RN 27553-01-1 CAPLUS
CN Guanosine, cytidylyl-(3'.fwdarw.5')-, monoammonium salt (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



● NH_3

L110 ANSWER 3 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 3
ACCESSION NUMBER: 1967:403224 CAPLUS
DOCUMENT NUMBER: 67:3224
TITLE: Arabinofuranosyl 2',5'- and 3',5'-dinucleoside phosphates
INVENTOR(S): Wechter, William J.
PATENT ASSIGNEE(S): Upjohn Co.
SOURCE: U.S., 28 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3300478		19670124	US	19650601
DE 1620630			DE	
FR 1481648			FR	
GB 1149670			GB	
NL 6607273			NL	

ED Entered STN: 12 May 1984
GI For diagram(s), see printed CA Issue.
AB The title compds. (I and II) exhibit significant cytotoxic activity in vitro, particularly against KB tumor cells and against viruses, particularly the different types of Herpes, Coe, and Vaccinia viruses. A soln. of 10 g. 1-.beta.-D-arabinofuranosylcytosine hydrochloride (III.HCl, X = cytosin-1-yl, R = R1 = R2 = H) in 200 ml. C5H5N (IV) was treated with 12 g. Ph3CCl, stirred 1 week at 23-6.degree., poured with stirring into 3 l. ice H2O, the resulting oil allowed to solidify overnight in H2O, triturated with 200 ml. boiling heptane, and the solids worked up to give 13 g. III (X = cytosin-1-yl, R = Ph3C, R1 = R2 = H) (IIIa), m. 227.5-28.degree. (decompn.) (Me2CO). A mixt. of 6.2 g. IIIa, 40 ml. dry IV, and 6 ml. PhCOCl was stirred 20 hrs. at 24-6.degree., poured into 500 ml. cold H2O, stirred 3 hrs., the ppt. taken up in 150 ml. CH2Cl2, the CH2Cl2 soln. worked up to give a residue which was taken up in CHCl3 and treated with 6.7 ml. HBr in AcOH (30% HBr), concd., and chromatographed over silicic acid to give 3.13 g. III (X = N4-benzoylcytosin-1-yl, R = H, R1 = R2 = PhCO) (IIIb), m. 177.5-78.degree.. A suspension of 750 mg. IIIa in 9 ml. IV was treated with 3 ml. Ac2O, stirred 2 hrs. and transferred into 90 ml. H2O to give 800 mg. III (X = N4-acetylcytosin-1-yl, R = Ph3C,

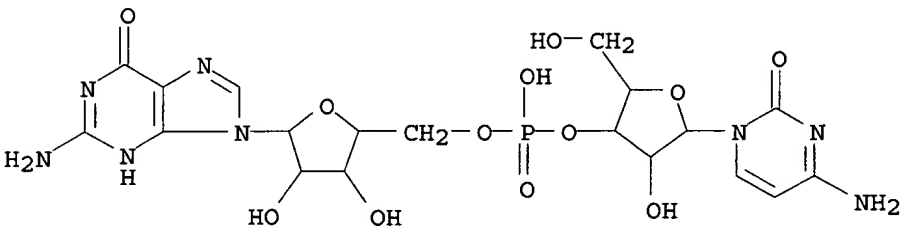
R1 = R2 = Ac) (IIIc), m. 251-2.degree. (EtOH). A suspension of 1.3 g. IIIc in 10 ml. 80% aq. AcOH was refluxed 10 min., refrigerated, filtered, the filtrate evapd. in vacuo to dryness, the residue dissolved in 20 ml. MeOH, and chromatographed over silica gel to give 240 mg. III (X = N4-acetylcytosin-1-yl, R = H, R1 = R2 = Ac) (IIId), m. 174.5-5.5.degree. (Me2CO-petroleum ether). A mixt. of III (X = cytosin-1-yl, R = R1 = R2 = H) 25 ml. anisoyl chloride, and 100 ml. IV was stirred 6 hrs. at 25.degree., treated with 400 ml. 1.5N HCl, kept overnight at 22-4.degree., and the solids worked up in the usual manner to give III (X = N4-anisoylcytosin-1-yl, R = R1 = R2 = H) (IIIe), m. 200.5-1.5.degree. (H2O, then EtOH). A soln. of 4.8 g. IIIe in 50 ml. IV was treated with Ph2(p-MeOC6H4)CCl, kept 9 hrs., treated with 10 ml. MeOH, poured into 600 ml. H2O, and worked up to give 4.21 g. crude III (X = N4-anisoylcytosin-1-yl, R = Ph2(p-MeOC6H4)C, R1 = R2 = H) (IIIf). A mixt. of 4 g. IIIf in 20 ml. IV was treated with 3 ml. PhCOCl for 18 hrs. in a sealed vessel to give III (X = N4-anisoylcytosin-1-yl, R = H, R1 = R2 = PhCO), m. 172-3.degree. (EtOAc-petroleum ether). A soln. of 0.325 M NCCH2CH2OP(:O)(OH)2 in 40 ml. IV was treated with 2.5 g. IIId contg. a small amt. of III (X = cytosin-1-yl, R = H, R1 = R2 = Ac), followed by a soln. of 5.6 g. dicyclohexylcarbodiimide (V) in 20 ml. IV, shaken 2 days in the dark, treated with 10 ml. H2O, warmed to 40.degree., shaken 1 hr., treated with 75 ml. H2O, filtered, the filtrate distd. to dryness, the residue partitioned between H2O and Et2O, the aq. layer freed from Et2O, treated with 2.16 g. LiOH, heated 1 hr. at 100.degree., the solids filtered off, the filtrate adjusted to pH 7 by the addn. of acid-exchange resin, and worked up by chromatog. to give 250 mg. III (X = cytosin-1-yl, R = (OH)2P:O, R1 = R2 = H) (H2O). A soln. contg. 50 millimoles pyridinium 2-cyanoethyl phosphate in 10 ml. IV was treated with 2.77 g. IIIb, condensed with V as above, and worked up (including a treatment with 1N NaOH) to give 1.81 g. III (X = N4-benzoylcytosin-1-yl, R = (OH)2P:O, R1 = R2 = H). A soln. of IIIg in 15 ml. IV and 15 ml. Ac2O was stirred 18 hrs. at room temp. dild. with 15 ml. H2O, stirred 3 hrs., and evapd. to dryness at 30.degree. in vacuo to give III (X = N4-benzoylcytosin-1-yl, R = (HO)2P:O, R1 = R2 = Ac). A soln. of 0.5 g. IIIb in 17 ml. EtOH at 5.degree. was treated with 0.4 g. NaOH in 3 ml. H2O, kept 30 min., poured into 80 ml. ice-H2O, neutralized with N HCl and filtered to give III (X = N4-benzoylcytosin-1-yl, R = R1 = R2 = H) (IIIh). IIIh was converted as above to III (X = N4-benzoylcytosin-1-yl, R = Ph2C, R1 = R2 = H). A mixt. of 900 mg. IIIf and 1.38 millimoles 1-(3-O-acetyl-2-deoxy-.beta.-D-pentofuranosyl)uracil-5'-yl phosphate in 2 ml. IV was rendered anhyd. by several evapns. with anhyd. IV in vacuo, dissolved in 16 ml. IV, treated with 3 g. V, kept with shaking in a sealed container for 5 days at 22-36.degree., treated with 6 ml. aq. IV, 1 part H2O, 2 parts IV, filte red, the ppt. washed with 20 ml. IV, extd. with cyclohexane-Et2O (1:1 mixt.), the ext. discarded, the IV soln. concd. at 30.degree., dild. with 20 ml. IV, reduced as above to 5 ml. and chromatographed on O-(2-diethylaminoethyl)cellulose (DEAE-cellulose) to give I (X = N4-anisoylcytosin-1-yl, R = Ph2(p-MeOC6H4)C, R1 = H, X1 = uracil-1-yl, R2 = Ac, R3 = H) (Ia) and II (X = N4-anisoylcytosin-1-yl, R = Ph2(p-MeOC6H4)C, R1 = H, X1 = uracil-lyl, R2 = Ac, R3 = H (IIa). Ia and IIa could be sepd. by Craig counter current distribution. A soln. of Ia and IIa in 25 ml. IV was treated with 60 ml. alc. NH3 (3 parts concd. NH4OH in 1 part EtOH), kept 2 days at room temp., evapd. to dryness in vacuo at 30.degree., the residue taken up in 25 ml. 80% AcOH, kept 35 hrs. at room temp., the acid removed in vacuo, a suspension of the residue in 20 ml. H2O adjusted to pH 8 with 3N NH4OH, extd. with Et2O, the aq. soln. (27 ml.) chromatographed on DEAE-cellulose, and the fractions worked up further to give I (X = cytosin-1-yl, R = R1 = H, X1 = uracil-1-yl, R2 = R3 = H) and II (X = cytosin-1-yl, R = R1 = H, X1 = uracil-1-yl, R2 = R3 = H). Following the various procedures given above a number of similar III compds. were prepd. in which the following groups constituted the appropriate part of the mol.: (in place of X) thymin-1-yl, adenin-9-yl,

guanin-9-yl, 6-mercaptopurin-9-yl, uracil-3-yl, 5-fluorouracil-1-yl, 5-chlorouracil-1-yl, 5-bromouracil-1-yl, 5-iodouracil-1-yl, 5-trifluoromethyluracil-1-yl, hypoxanthin-9-yl, xanthin-9-yl, 5-methylcytosin-1-yl, and 3-methylcytosin-1-yl; (in place of R) (p-MeOC6H4)2PhC: (in place of D-arabinose) D-ribose and 2-deoxy-D-erythro-pentose; and as acylating agents .beta.-cyclopentylpropionyl chloride, lauroyl chloride, propionic anhydride, butyric anhydride, phenylacetic anhydride, hexanoic anhydride, phenylpropionic anhydride, valeric anhydride, decanoyl chloride, and octanoyl chloride. These derivs. were employed according to the procedures described above to prep. the corresponding acylated and partially acylated 5'-phosphate 1'-substituted D-arabinofuranosyl, D-ribofuranosyl, and 2-deoxy-D-erythro-pentofuranosyl products which were then coupled as described above to give a variety of the title compds. I and II.

IT **16640-18-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 16640-18-9 CAPLUS

CN 5'-Guanylic acid, 5'.fwdarw.3'-ester with 1-.beta.-D-arabinofuranosylcytosine (8CI) (CA INDEX NAME)



L110 ANSWER 4 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:519309 CAPLUS

DOCUMENT NUMBER: 137:290483

TITLE: Temperature dependence of thermodynamic properties for DNA/DNA and RNA/DNA duplex formation

AUTHOR(S): Wu, Peng; Nakano, Shu-Ichi; Sugimoto, Naoki

CORPORATE SOURCE: High Technology Research Center, Faculty of Science and Engineering, Konan University, Higashinada-ku, Japan

SOURCE: European Journal of Biochemistry (2002), 269(12), 2821-2830
CODEN: EJBCAI; ISSN: 0014-2956

PUBLISHER: Blackwell Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 12 Jul 2002

AB A clear difference in the enthalpy changes derived from spectroscopic and calorimetric measurements has recently been shown. The exact interpretation of this deviation varied from study to study, but it was generally attributed to the non-two-state transition and heat capacity change. Although the temp.-dependent thermodn. of the duplex formation was often implied, systemic and extensive studies have been lacking in universally assigning the appropriate thermodn. parameter sets. In the present study, the 24 DNA/DNA and 41 RNA/DNA oligonucleotide duplexes, designed to avoid the formation of hairpin or slipped duplex structures and to limit the base pair length less than 12 bp, were selected to evaluate the heat capacity changes and temp.-dependent thermodn. properties of duplex formation. Direct comparison reveals that the

temp.-independent thermodn. parameters could provide a reasonable approxn. only when the temp. of interest has a small deviation from the mean melting temp. over the exptl. range. The heat capacity changes depend on the base compn. and sequences and are generally limited in the range of -160 to .apprxeq. -40 cal.cntdot.mol-1.cntdot.K-1 per base pair. In contrast to the enthalpy and entropy changes, the free energy change and melting temp. are relatively insensitive to the heat capacity change. Finally, the 16 NN-model free energy parameters and one helix initiation at physiol. temp. were extd. from the temp.-dependent thermodn. data of the 41 RNA/DNA hybrids.

IT **467451-12-3**
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(NN-model free energy parameters from temp.-dependent thermodn. data will enhance accuracy of prediction of secondary or tertiary structures for nucleotide hybrids in vivo)

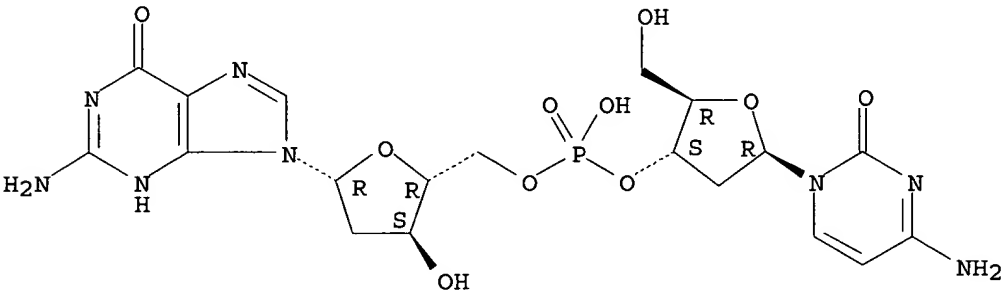
RN 467451-12-3 CAPLUS

CN Guanosine, cytidylyl-(3'.fwdarw.5')-, compd. with 2'-deoxycytidylyl-(3'.fwdarw.5')-2'-deoxyguanosine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 15178-66-2
CMF C19 H25 N8 O10 P

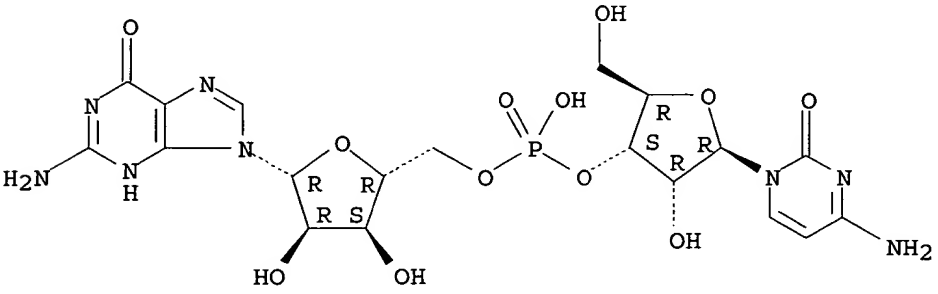
Absolute stereochemistry.



CM 2

CRN 2382-65-2
CMF C19 H25 N8 O12 P

Absolute stereochemistry.

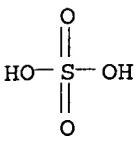


REFERENCE COUNT: 65 THERE ARE 65 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L110 ANSWER 5 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:473246 CAPLUS
DOCUMENT NUMBER: 136:33484
TITLE: The occurrence of the syn-C3' endo conformation and the distorted backbone conformations for C4'-C5' and P-O5' in oligo and polynucleotides
AUTHOR(S): Vasudevan, Sanjay S.; Sundaralingam, Muttaiya
CORPORATE SOURCE: The Biological Macromolecular Structure Center, Departments of Chemistry and Biochemistry, The Ohio State Biochemistry Program, Ohio State University, Columbus, OH, 43210, USA
SOURCE: Journal of Biomolecular Structure & Dynamics (2001), 18(6), 824-831
CODEN: JBSDD6; ISSN: 0739-1102
PUBLISHER: Adenine Press
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 01 Jul 2001
AB The nucleoside constituents of nucleic acids prefer the anti conformation (1). When the sugar pucker is taken into account the nucleosides prefer the C2' endo-anti conformation. Of the nearly 300 nucleosides known, about 250 are in the anti conformation and 50 are in the syn-conformation, i.e., anti to syn conformation is 5:1. The nucleotide building blocks of nucleic acids show the same trend as nucleosides. Both the deoxy-guanosine and ribo-guanosine residues in nucleosides and nucleotides prefer the syn-C2' endo conformation with an intra-mol. hydrogen bond (for nucleosides) between the O5'-H and the N3 of the base and, a few syn-C3' endo conformations are also obsd. Evidence is presented for the occurrence of the C3' endo-syn conformation for guanines in mis-paired double helical right-handed structures with the distorted sugar phosphate C4'-C5' and P-O5' bonds resp., from g+ (gg) and g- to trans. Evidence is also provided for guanosine nucleotides in left-handed double-helical (Z-DNA) oligo and polynucleotides which has the same syn-C3' endo conformation and the distorted backbone sugar-phosphate bonds (C4'-C5' and P-O5') as in the earlier right-handed case.
IT **362050-57-5**
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(occurrence of the syn-C3' endo conformation and the distorted backbone conformations for C4'-C5' and P-O5' in oligo and polynucleotides)
RN 362050-57-5 CAPLUS
CN Guanosine, cytidylyl-(3'.fwdarw.5')-, compd. with 3,6-acridinediamine, sulfate (salt) (1:1:1), monohydrate (9CI) (CA INDEX NAME)

CM 1

CRN 7664-93-9
CMF H2 O4 S

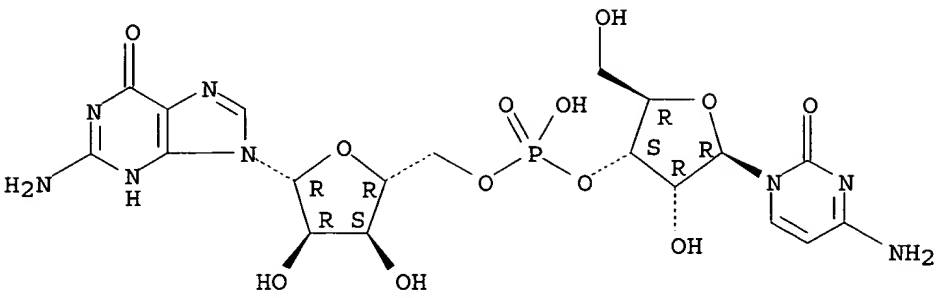


CM 2

CRN 2382-65-2

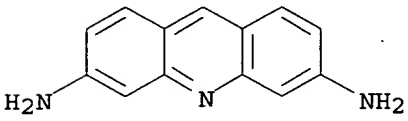
CMF C19 H25 N8 O12 P

Absolute stereochemistry.



CM 3

CRN 92-62-6
CMF C13 H11 N3

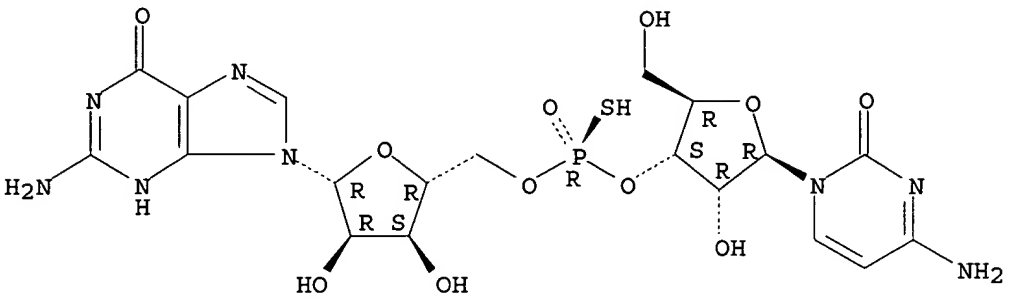


REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L110 ANSWER 6 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:228900 CAPLUS
DOCUMENT NUMBER: 131:194
TITLE: Determination of a set of parameters for the molecular modeling of phosphorothioate DNA
AUTHOR(S): Bertrand, H. O.; Pullman, A.; Zakrzewska, K.; Hartmann, B.; Fermandjian, S.
CORPORATE SOURCE: Departement Biologie Structurale, Institut Gustave Roussy, Villejuif, F-94805, Fr.
SOURCE: Theoretical Chemistry Accounts (1999), 101(4), 269-273
CODEN: TCACFW; ISSN: 1432-881X
PUBLISHER: Springer-Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 13 Apr 1999
AB Phosphorothioate DNAs, have emerged as a new class of potent drugs. They are obtained by the replacement of the anionic O of the phosphodiester backbone by S. A set of parameters were developed for the FLEX force field implemented in JUMNA 10.0 to take into account the influence of S on the structure of the DNA double helix. The consistency of these parameters was tested by modeling a phosphorothioate oligomer namely d(GC)8.cntdot.d(GC)8. Results, obtained on both R-pS and S-pS diastereoisomers, were compared to the phosphodiester counterpart and were in agreement with available exptl. data. Thus, these parameters seem suitable for further mol. modeling of other phosphorothioate oligomers.
IT **225380-99-4 225381-01-1**
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(mol. modeling parameters of phosphorothioate oligonucleotides)
RN 225380-99-4 CAPLUS

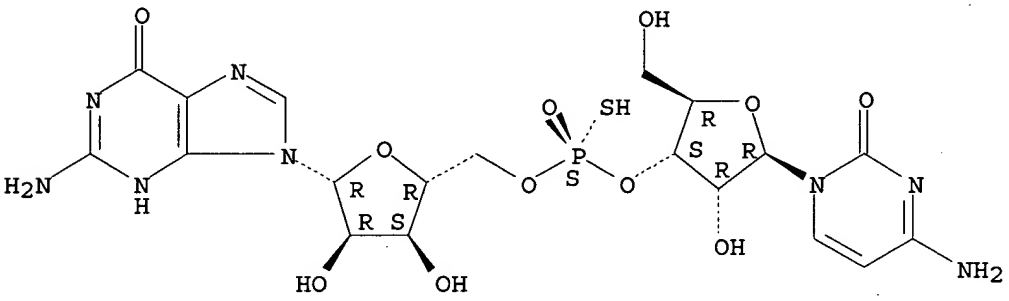
CN Guanosine, [P(R)]-P-thiocytidylyl-(3'.fwdarw.5')- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 225381-01-1 CAPLUS
CN Guanosine, [P(S)]-P-thiocytidylyl-(3'.fwdarw.5')- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L110 ANSWER 7 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:892450 CAPLUS
DOCUMENT NUMBER: 124:117833
TITLE: The effect of monovalent cations on the self-association of cytidylyl-(3'-5')-guanosine and guanylyl-(3'-5')-cytidine in aqueous solution
AUTHOR(S): Walmsley, Judith A.; Wilson, Rhonda M.; Garza, Leoncio A., II; West, R. Ted; Lytle, Thomas E.; Heldt, Richard C., III; Maguire, Michael J.
CORPORATE SOURCE: Division Earth Physical Sciences, University Texas San Antonio, San Antonio, TX, 78249, USA
SOURCE: Journal of Biomolecular Structure & Dynamics (1995), 13(2), 319-37
CODEN: JBSDD6; ISSN: 0739-1102
PUBLISHER: Adenine Press
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 02 Nov 1995
AB The hydrogen-bonding, base stacking, and formation of extended aggregates has been investigated for salts of guanylyl-(3'-5'-cytidine, GpC, and cytidylyl-(3'-5')-guanosine, CpG, in which the cation was Na+, K+, or tetramethylammonium (TMA+). Variable temp. studies were done at 2-70.degree.C on aq. solns. at pD 4 and 8 using 1H NMR and FTIR. At low temps. it has been found that at pD 8 both GpC and CpG form Watson-Crick

dimers which stack upon each other to form larger species. A slight cation effect is obsd. below 35.degree.C which has the order: TMA+>Na+>K+. This order suggests that the cations are interacting with the phosphate and interactions with the bases are unlikely. The 1H NMR spectrum for TMACpG at pD 4 has been assigned and exhibits chem. shift differences from those at pD 8 which are consistent with protonation of th N3 of the cytidine residue. Based on NMR line broadening, CpG at pD 4 has a greater degree of self-assocn. at low temp. that it or GcP have at pD 8. A different type of hydrogen bonding and self-assocn. occur in CpG at pD 4 compared to pD 8, but the structures are uncertain. Due to hemi-protonation of the cytidine N3, parallel G-G/C-C+ base paired dimers or G-tetrads may be forming.

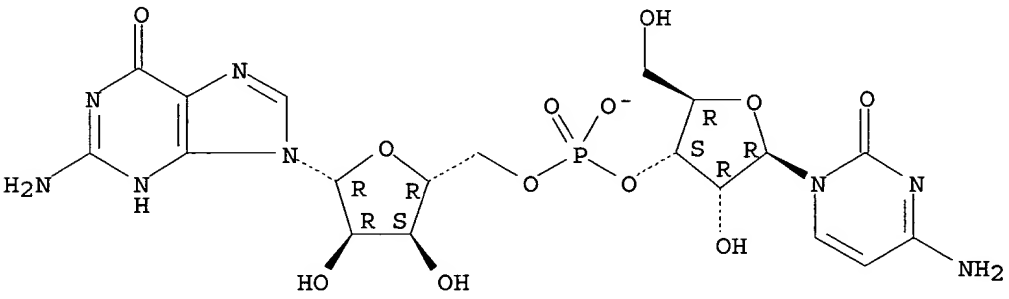
IT **172793-54-3 172793-57-6 172793-58-7**
RL: PRP (Properties)
(effect of monovalent cations on the self-assocn. of cytidylylguanosine and guanylylcytidine in aq. soln.)

RN 172793-54-3 CAPLUS
CN Guanosine, cytidylyl-(3'.fwdarw.5')-, ion(1-), N,N,N-trimethylmethanaminium (9CI) (CA INDEX NAME)

CM 1

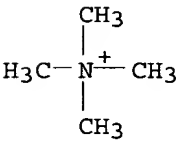
CRN 172793-53-2
CMF C19 H24 N8 O12 P

Absolute stereochemistry.



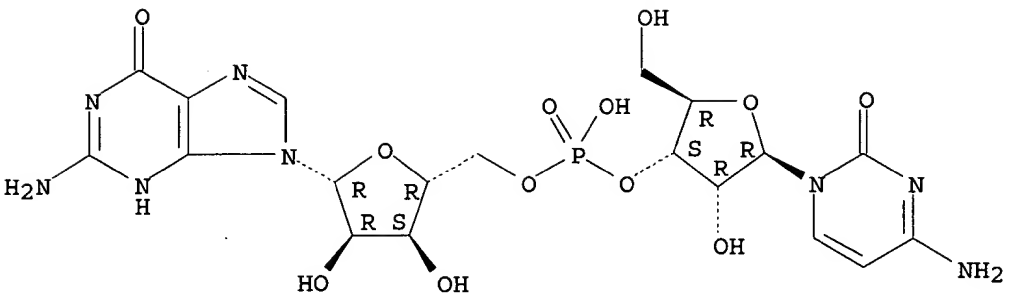
CM 2

CRN 51-92-3
CMF C4 H12 N



RN 172793-57-6 CAPLUS
CN Guanosine, cytidylyl-(3'.fwdarw.5')-, monosodium salt (9CI) (CA INDEX NAME)

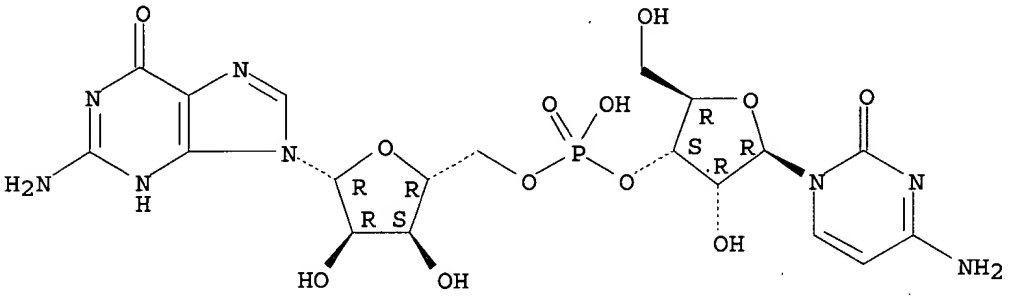
Absolute stereochemistry.



● Na

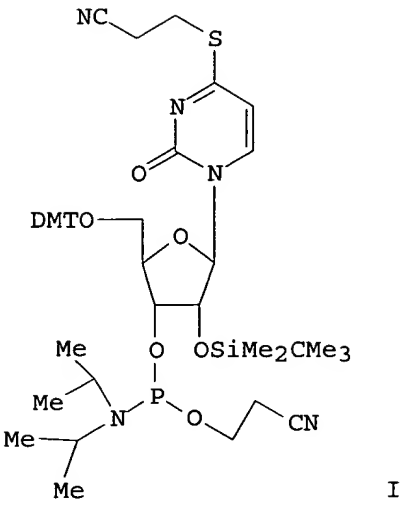
RN 172793-58-7 CAPLUS
CN Guanosine, cytidylyl-(3'.fwdarw.5')-, monopotassium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● K

L110 ANSWER 8 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:299191 CAPLUS
DOCUMENT NUMBER: 120:299191
TITLE: A convenient synthesis of S-cyanoethyl-protected 4-thiouridine and its incorporation into oligoribonucleotides
AUTHOR(S): Adams, Chris J.; Murray, James B.; Arnold, John R. P.; Stockley, Peter G.
CORPORATE SOURCE: Dep. Genet., Univ. Leeds, Leeds, LS2 9JT, UK
SOURCE: Tetrahedron Letters (1994), 35(5), 765-8
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 120:299191
ED Entered STN: 11 Jun 1994
GI



I

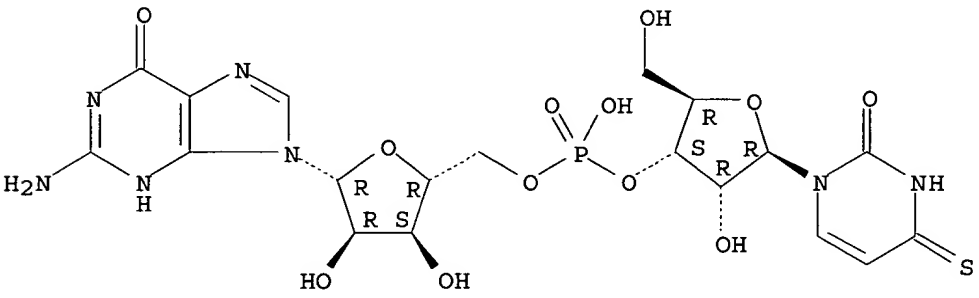
AB A reliable prepn. of S4-cyanoethyl-4-thiouridine I and its incorporation into oligoribonucleotides is reported. Deprotection of oligoribonucleotides with DBU in acetonitrile followed by methanolic ammonia allows the use of std. N-benzol and N-isobutyryl protected phosphoramidites. Cleavage of hammerhead ribozymes using GCGCCGAAACACCGUG[4SU]CUCGAGC as the modified substrate and GGCUCGACUGAUGAGGCGC as the ribozyme resulted in a halving of the cleavage rate when compared to the unmodified substrate, which is consistent with the proposal that the A9-U17 base pair plays a key role in the active structure.

IT **58672-07-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 58672-07-4 CAPLUS

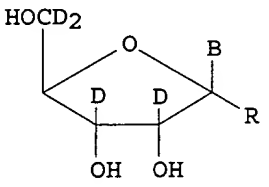
CN Guanosine, 4-thiouridylyl-(3'.fwdarw.5')- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

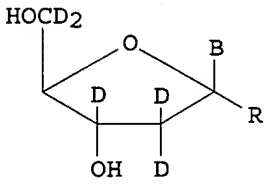


L110 ANSWER 9 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:472991 CAPLUS
DOCUMENT NUMBER: 119:72991
TITLE: NMR spectroscopic properties (proton at 500 MHz) of deuterated* ribonucleotide-dimers ApU*, GpC*, partially deuterated 2'-deoxyribonucleotide-dimers d(TpA*), d(ApT*), d(GpC*) and their comparison with natural counterparts (1H-NMR window)

AUTHOR(S): Foldesi, A.; Nilson, F. P. R.; Glemarec, C.; Gioeli, C.; Chattopadhyaya, J.
CORPORATE SOURCE: Biomed. Cent., Univ. Uppsala, Uppsala, S-751 23, Swed.
SOURCE: Journal of Biochemical and Biophysical Methods (1993), 26(1), 1-26
CODEN: JBBMDG; ISSN: 0165-022X
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 21 Aug 1993
GI



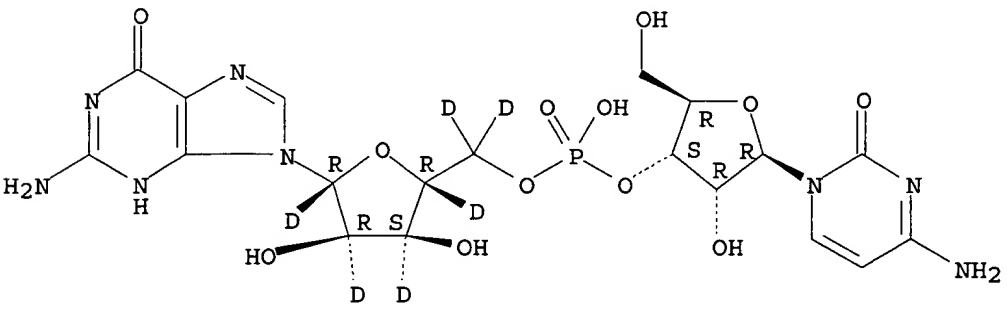
I



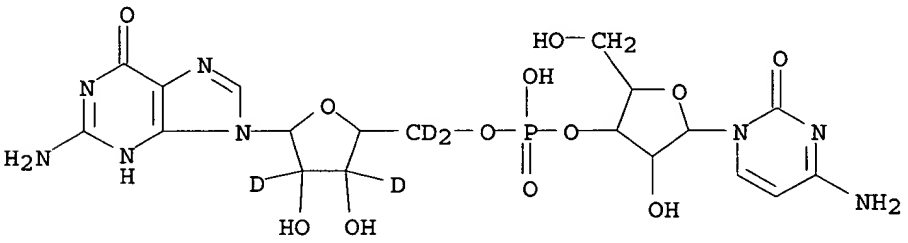
II

AB Pure 1'#,2',3',4'#,5',5''-2H6-ribonucleoside derivs. I (R = H, D, B = uracil-1-yl, N4-benzoylcytosin-1-yl, N6-benzoyladenin-9-yl, N2-acetyl-O6-diphenylcarbamoylguanin-9-yl, thymin-1-yl) 1'#2'2",3',4'#,5',5''-2H7-2'-deoxynucleoside blocks II (R = H, D, B = N4-benzoylcytosin-1-yl, N6-benzoyladenin-9-yl, N2-acetyl-O6-diphenylcarbamoylguanin-9-yl, thymin-1-yl) and their natural-abundance counterparts were used to assemble partially deuterated ribonucleotide-dimers [* indicates deuteration at 1'#,2',3',4'#,5',5''(2H6)]: ApU*, GpC* and partially deuterated 2'-deoxyribonucleotide-dimers d(TpA*), d(ApT*), d(GpC*) [* indicates deuteration at 1'#, 2',2'',3',4'#,5',5'')(2H7)] according to the procedure described by Foldesi et al. (Tetrahedron, in press). These five partially deuterated oligonucleotides were subsequently compared with their corresponding natural-abundance counterparts by 500 MHz 1H-NMR spectroscopy to evaluate the actual NMR simplification achieved in the non-deuterated part (1H-NMR window) as a result of specific deuterium incorporation. Detailed one-dimensional 1H-NMR (500 MHz), two-dimensional correlation spectra (DQF-COSY and TOCSY) and deuterium isotope effect on the chem. shifts of oligonucleotides have been presented.
IT **148719-80-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and proton NMR of)
RN 148719-80-6 CAPLUS
CN Guanosine-1',2',3',4',5',5'-C-d6, cytidylyl-(3'.fwdarw.5')- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L110 ANSWER 10 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:60019 CAPLUS
DOCUMENT NUMBER: 118:60019
TITLE: Synthesis deuterium-labeled 1',2'3',4',5',5''-2H6-.beta.-D-ribonucleosides and 1',2',2'',3',4',5',5''-2H7-.beta.-|d-2'-deoxyribonucleosides for selective suppression of proton resonances in partially-deuterated oligo-DNA, oligo-RNA and in 2,5A core (1H NMR window)
AUTHOR(S): Foldesi, Andras; Nilson, Frans Peder R.; Glemarec, Corine; Gioeli, Carlo; Chattopadhyaya, Jyoti
CORPORATE SOURCE: Biomed. Cent., Univ. Uppsala, Uppsala, S-751 23, Swed.
SOURCE: Tetrahedron (1992), 48(41), 9033-72
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 16 Feb 1993
AB Partially-deuterated oligodeoxyribonucleotides and oligoribonucleotides were prepd. via condensation of partially deuterated ribofuranosides, obtained via Raney nickel-2H2O exchange reaction, with nucleoside bases.
IT **145382-08-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 145382-08-7 CAPLUS
CN Guanosine-2',3',5',5'-C-d4, cytidylyl-(3'.fwdarw.5')-, labeled with deuterium (9CI) (CA INDEX NAME)

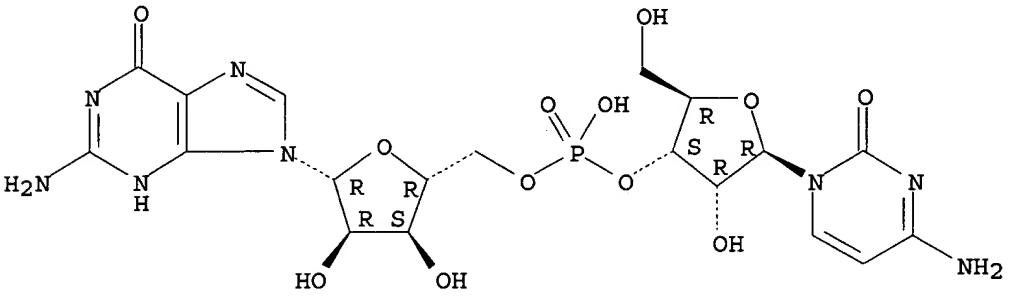


L110 ANSWER 11 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1988:51436 CAPLUS
DOCUMENT NUMBER: 108:51436
TITLE: Ammonium ion representation in Monte Carlo simulations of biomolecular solutions
AUTHOR(S): Elliott, Robert J.; Goodfellow, Julia M.
CORPORATE SOURCE: Dep. Crystallogr., Birkbeck Coll., London, WC1E 7HX, UK
SOURCE: Journal of Theoretical Biology (1987), 128(1), 121-5
CODEN: JTBIAP; ISSN: 0022-5193
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 20 Feb 1988
AB Monte Carlo computer simulation techniques may be used to predict structural properties of solvent networks in helical fragments of nucleic acids, provided that suitable potential functions are available to describe the interactions between nucleic acid atoms, water, and counterions. Previous studies have shown that simple nonbonded and point charge parameters are adequate for mononuclear ions such as Na+ and Ca2+. In this study a model interaction potential for the polynuclear ion NH4+

is evaluated. The parameters used take account of the distribution of charge over the constituent atoms in the ion. Simulations are carried out on the NH4 salt of a small nucleic acid (CpG) crystal hydrate and a comparison is made between the predicted and exptl. results. The simulated structure is in reasonable agreement with expt. It is therefore feasible to use this potential in studies of NH4+-contg. biomol. systems.

IT **27553-01-1**
RL: PRP (Properties)
(structure of, in soln., Monte Carlo simulation of)
RN 27553-01-1 CAPLUS
CN Guanosine, cytidylyl-(3'.fwdarw.5')-, monoammonium salt (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



● NH_3

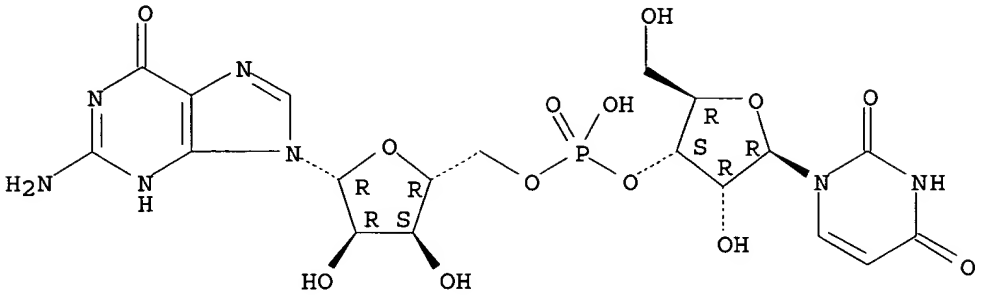
L110 ANSWER 12 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1987:511274 CAPLUS
DOCUMENT NUMBER: 107:111274
TITLE: Energetics of internal GU mismatches in ribooligonucleotide helixes
AUTHOR(S): Sugimoto, Naoki; Kierzek, Ryszard; Freier, Susan M.; Turner, Douglas H.
CORPORATE SOURCE: Dep. Chem., Univ. Rochester, Rochester, NY, 14627, USA
SOURCE: Biochemistry (1986), 25(19), 5755-9
CODEN: BICHAW; ISSN: 0006-2960
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 05 Oct 1987
AB Thermodn. parameters of helix formation were measured spectroscopically for 16 oligoribonucleotides contg. either internal GU mismatches or the corresponding AU pairs. Internal GU mismatches stabilize each helix, but not as much as the corresponding AU paris. The differences in the enthalpy and entropy changes of helix formation assocd. with replacing AU pairs with GU mismatches are less than previously realized. At both 25 and 37.degree., the decrease in helix stability assocd. with replacing an AU with a GU is also less than thought previously. Approxns. are suggested for predicting the effects of GU mismatches on helix stability.

IT **103793-97-1**
RL: BIOL (Biological study)
(guanine-uracil base mismatch in, free energy of of RNA helix propagation in relation to)
RN 103793-97-1 CAPLUS
CN Guanosine, cytidylyl-(3'.fwdarw.5')-, compd. with uridylyl-(3'.fwdarw.5')guanosine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3474-04-2
CMF C19 H24 N7 O13 P

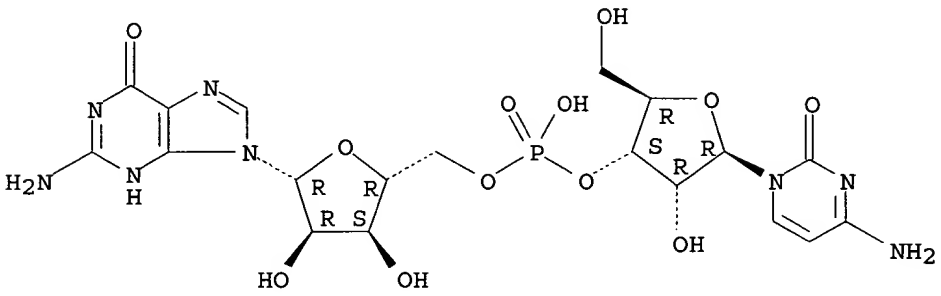
Absolute stereochemistry.



CM 2

CRN 2382-65-2
CMF C19 H25 N8 O12 P

Absolute stereochemistry.



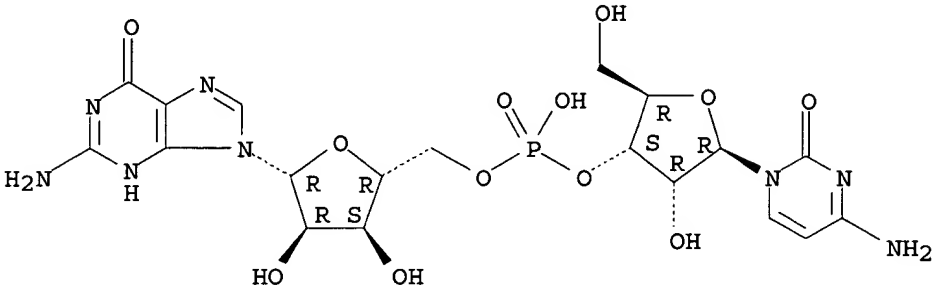
L110 ANSWER 13 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1987:98236 CAPLUS
DOCUMENT NUMBER: 106:98236
TITLE: Investigation of the interaction of proflavine with isomeric diribonucleoside monophosphates CpG and GpC by the proton magnetic resonance method
AUTHOR(S): Veselkov, A. N.; Dymant, L. N.; Baranovskii, S. F.
CORPORATE SOURCE: Instrum. Dev. Inst., Sevastopol, USSR
SOURCE: Molekulyarnaya Biologiya (Moscow) (1986), 20(5), 1244-50
CODEN: MOBIBO; ISSN: 0026-8984
DOCUMENT TYPE: Journal
LANGUAGE: Russian
ED Entered STN: 05 Apr 1987
AB A comparative study of the interaction of proflavine with isomeric diribonucleoside monophosphates CpG and GpC was made by the method of 1H NMR (270 MHz). A method of calcn. of the parameters of complex formation from the concn. dependences of proton chem. shifts of the dye is proposed. The equil. consts. of 1:1 and 1:2 (proflavine:dinucleotide) complexes and the most probable structures of the complexes were detd.

IT **79328-21-5P 107022-03-7P**
RL: PREP (Preparation)
(formation and structure of, NMR study of)
RN 79328-21-5 CAPLUS
CN Guanosine, cytidylyl-(3'.fwdarw.5')-, compd. with 3,6-acridinediamine (1:1) (9CI) (CA INDEX NAME)

CM 1

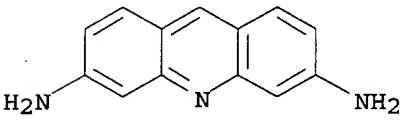
CRN 2382-65-2
CMF C19 H25 N8 O12 P

Absolute stereochemistry.



CM 2

CRN 92-62-6
CMF C13 H11 N3

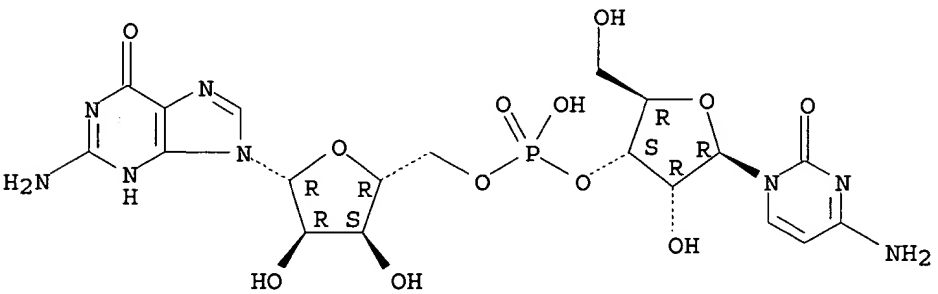


RN 107022-03-7 CAPLUS
CN Guanosine, cytidylyl-(3'.fwdarw.5')-, compd. with 3,6-acridinediamine (2:1) (9CI) (CA INDEX NAME)

CM 1

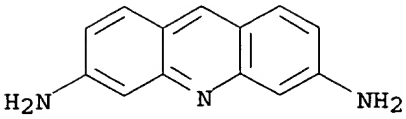
CRN 2382-65-2
CMF C19 H25 N8 O12 P

Absolute stereochemistry.

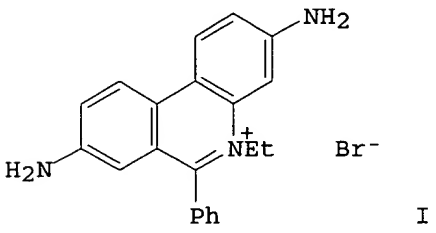


CM 2

CRN 92-62-6
CMF C13 H11 N3



L110 ANSWER 14 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1984:203045 CAPLUS
DOCUMENT NUMBER: 100:203045
TITLE: Visualization of drug-nucleic acid interactions at atomic resolution. VIII. Structures of two ethidium/dinucleoside monophosphate crystalline complexes containing ethidium:cytidylyl(3'-5')guanosine
AUTHOR(S): Jain, Shri C.; Sobell, Henry M.
CORPORATE SOURCE: Sch. Med. Dent., Univ. Rochester, Rochester, NY, 14642, USA
SOURCE: Journal of Biomolecular Structure & Dynamics (1984), 1(5), 1179-94
CODEN: JBSDD6; ISSN: 0739-1102
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 23 Jun 1984
GI



I

AB Two complexes contg. ethidium bromide (I) [1239-45-8] and the dinucleoside monophosphate, cytidylyl(3'-5')guanosine (CpG) [2382-65-2] are described. Both crystals are monoclinic, space group P21, with unit cell dimensions as follows: modification 1 [**55628-66-5**]: a = 13.64 .ANG., b = 32.16 .ANG., c = 14.93 .ANG., .beta. = 114.8.degree. and modification 2: a = 13.79 .ANG., b = 31.94 .ANG., c = 15.66 .ANG., .beta. = 117.5.degree.. Each structure has been solved to at. resoln. and refined by Fourier and least squares methods; the 1st has been refined to a residual of 0.187 on 1.903 reflections, while the 2nd has been refined to a residual of 0.187 on 1.001 reflections. The asym. unit in both structures contains 2 ethidium mols. and 2 CpG mols.; the 1st structure has 30 water mols. (a total of 158 non-hydrogen atoms), while the 2nd structure has 19 water mols. (a total of 147 non-hydrogen atoms). Both structures demonstrate interaction of ethidium between base-paired CpG dimers. In addn., ethidium mols. stack on either side of the intercalated duplex, being related by a unit cell transition along the a axis. The basic features of the sugar-phosphate chains accompanying ethidium

intercalation in both structures is: C3' endo (3'-5') C2' endo. This mixed sugar-puckering pattern has been obsd. in all previous studies of ethidium intercalation and is a feature common to other drug-nucleic acid structural studies.

IT **55628-66-5**
RL: FORM (Formation, nonpreparative)
(formation of, ethidium interaction with nucleic acids in relation to)

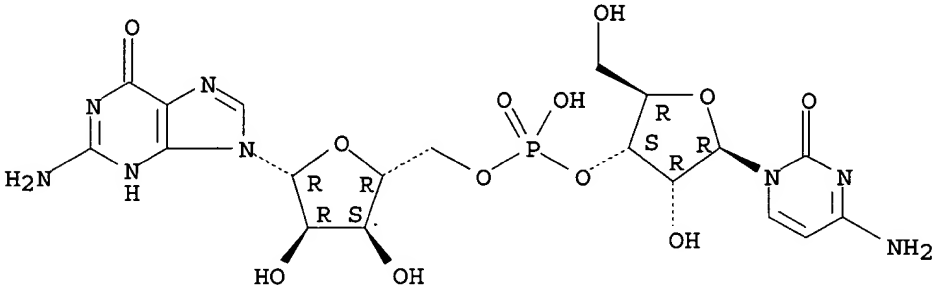
RN 55628-66-5 CAPLUS

CN Guanosine, cytidylyl-(3'.fwdarw.5')-, compd. with 3,8-diamino-5-ethyl-6-phenylphenanthridinium bromide (1:1) (9CI) (CA INDEX NAME)

CM 1

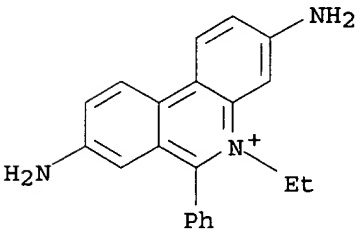
CRN 2382-65-2
CMF C19 H25 N8 O12 P

Absolute stereochemistry.



CM 2

CRN 1239-45-8
CMF C21 H20 N3 . Br



● Br^-

L110 ANSWER 15 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1981:545459 CAPLUS
DOCUMENT NUMBER: 95:145459
TITLE: Virtual bond probe to study ordered and random coil conformations of nucleic acids
AUTHOR(S): Malathi, R.; Yathindra, N.
CORPORATE SOURCE: Dep. Crystallogr. Biophys., Univ. Madras, Madras, 600 025, India
SOURCE: International Journal of Quantum Chemistry (1981),

20(1), 241-57
CODEN: IJQCB2; ISSN: 0020-7608
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 12 May 1984

AB Recognition of the stereochem. features inherent to a nucleotide, viz., the preferred trans character of the 2 C-O bonds and the approx. chem. and conformational symmetry, facilitated the representation of a nucleotide in terms of 2 nearly equal blocks or 2 virtual bonds spanning the atoms P to C(4') on the 5' side and C(4') to P on the 3' side. The scheme, by virtue of its unique ability to account for the main sources of flexibility and to incorporate their interdependence, was effectively applied to probe-ordered as well as random-coiled conformations of polynucleotide chains. By this scheme, conformations of nucleotides, dinucleotides, helixes, and yeast tRNAPhe were characterized by virtual bond parameters. The 2 blocks of nucleotide were also described in terms of 2 approx. planes similar to peptides. Unperturbed end-to-end dimensions and persistence lengths of random coil polynucleotides were computed by considering short-range as well as near-neighbor bond long-range correlations and were in excellent agreement with the exptl. detd. values. Random coils comprise a large proportion of stacked A-type helical segments, a finding in sharp contrast to earlier interpretations which invoked a high fraction of unstacked extended conformations.

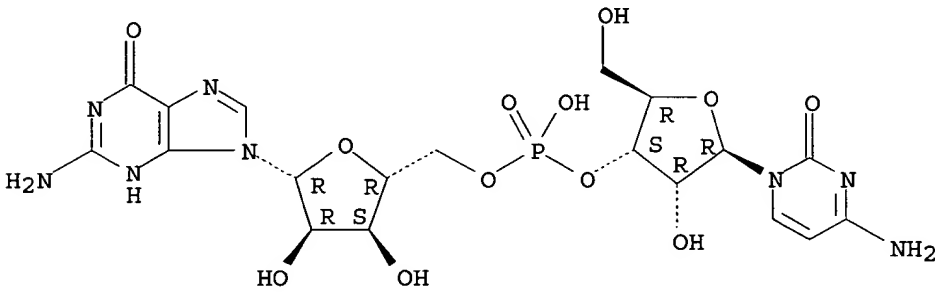
IT **71896-49-6 79328-21-5**
RL: BIOL (Biological study)
(virtual bond parameters of, conformation in relation to)

RN 71896-49-6 CAPLUS
CN Guanosine, cytidylyl-(3'.fwdarw.5')-, compd. with N,N,N',N'-tetramethyl-3,6-acridinediamine (2:1) (9CI) (CA INDEX NAME)

CM 1

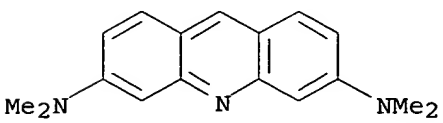
CRN 2382-65-2
CMF C19 H25 N8 O12 P

Absolute stereochemistry.



CM 2

CRN 494-38-2
CMF C17 H19 N3

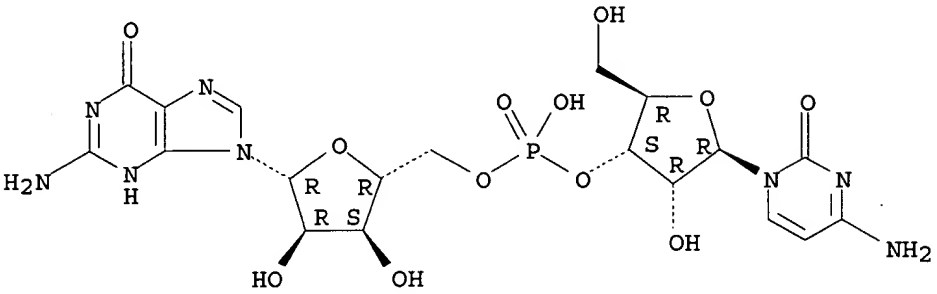


RN 79328-21-5 CAPLUS
CN Guanosine, cytidylyl-(3'.fwdarw.5')-, compd. with 3,6-acridinediamine (1:1) (9CI) (CA INDEX NAME)

CM 1

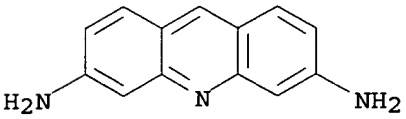
CRN 2382-65-2
CMF C19 H25 N8 O12 P

Absolute stereochemistry.



CM 2

CRN 92-62-6
CMF C13 H11 N3



L110 ANSWER 16 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1979:604688 CAPLUS
DOCUMENT NUMBER: 91:204688
TITLE: Visualization of drug-nucleic acid interactions at atomic resolution. VI. Structure of two drug/dinucleoside monophosphate crystalline complexes, ellipticine: 5-iodocytidylyl(3'-5')guanosine and 3,5,6,8-tetramethyl-N-methyl phenanthrolinium: 5-iodocytidylyl(3'-5')guanosine
AUTHOR(S): Jain, S. C.; Bhandary, K. K.; Sobell, H. M.
CORPORATE SOURCE: Univ. Rochester, Rochester, NY, USA
SOURCE: Report (1979), UR-3490-1595, 40 pp. Avail.: NTIS From: Energy Res. Abstr. 1979, 4(13), Abstr. No. 37193
DOCUMENT TYPE: Report
LANGUAGE: English
ED Entered STN: 12 May 1984
AB Ellipticine and 3,5,6,8-tetramethyl-N-Me phenanthrolinium (TMP) form complexes with the dinucleoside monophosphate, 5-iodocytidylyl(3'-5')guanosine (iodoCpG). These crystals are isomorphous: ellipticine-iodoCpG crystals are monoclinic, space group P21, with a = 13.88 A, b = 19.11 A, c = 21.42 A, .beta. = 105.4; TMP-iodoCpG crystals are monoclinic, space group P21, with a = 13.99 A, b = 19.12 A, c = 21.31 A, .beta. = 104.9. Both structures were solved to at. resoln. by Patterson and Fourier methods, and refined by full matrix least squares. The asym. unit in the ellipticine-iodoCpG structure contains 2 ellipticine

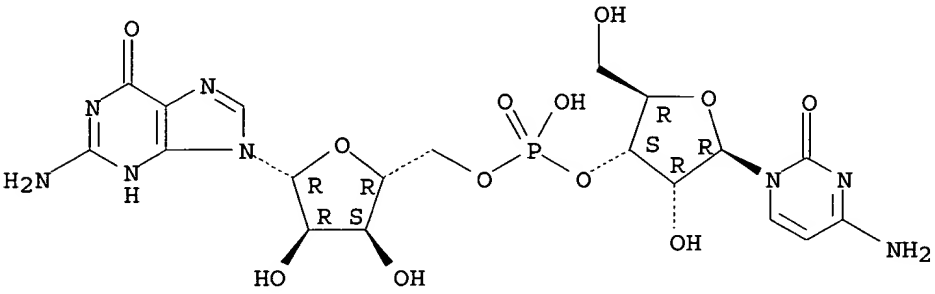
mols., 2 iodoCpG mols., 16 water mols. and 2 MeOH mols., a total of 140 atoms, whereas, in the TMP-iodoCpG complex, the asym. unit contains 2 TMP mols., 2 iodoCpG mols., 17 water mols. and 2 MeOH mols., a total of 141 atoms. In both structures, the 2 iodoCpG mols. are H bonded together by guanine-cytosine Watson-Crick base-pairing. Adjacent base-pairs within this paired iodoCpG structure are sepd. by about 6.7 A; this sepn. results from intercalative binding by one ellipticine (of TMP) mol. and stacking by the other ellipticine (or TMP) mol. above or below the base-pairs. Base-pairs within the paired nucleotide units are related by a twist of 10 to 120. The stereochem. obsd. in these model drug-nucleic acid intercalative complexes is almost identical to that obsd. in the ethidium-iodoUpA and iodoCpG complexes detd. previously. This stereochem. is also very similar to that obsd. in the 9-amino-acridine-iodoCpG and acridine orange-iodoCpG complexes.

IT **71816-26-7**
RL: PRP (Properties)
(mol. structure of)
RN 71816-26-7 CAPLUS
CN Guanosine, cytidylyl-(3'.fwdarw.5')-, compd. with 5,11-dimethyl-6H-pyrido[4,3-b]carbazole (1:1) (9CI) (CA INDEX NAME)

CM 1

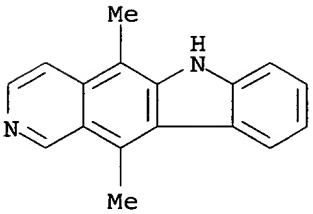
CRN 2382-65-2
CMF C19 H25 N8 O12 P

Absolute stereochemistry.



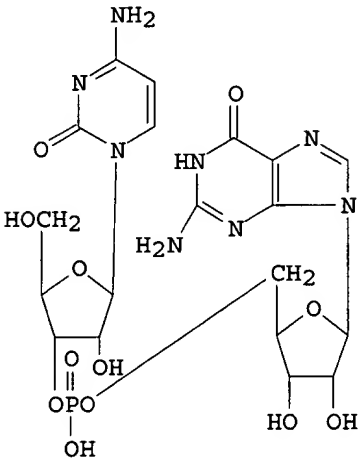
CM 2

CRN 519-23-3
CMF C17 H14 N2

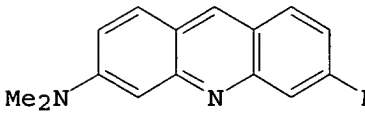


L110 ANSWER 17 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1979:588113 CAPLUS
DOCUMENT NUMBER: 91:188113
TITLE: Atomic resolution analysis of a 2:1 complex of CpG and

acridine orange
AUTHOR(S): Wang, Andrew H. J.; Quigley, Gary J.; Rich, Alexander
CORPORATE SOURCE: Dep. Biol., Massachusetts Inst. Technol., Cambridge, MA, USA
SOURCE: Nucleic Acids Research (1979), 6(12), 3879-90
CODEN: NARHAD; ISSN: 0305-1048
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 12 May 1984
GI



I



II

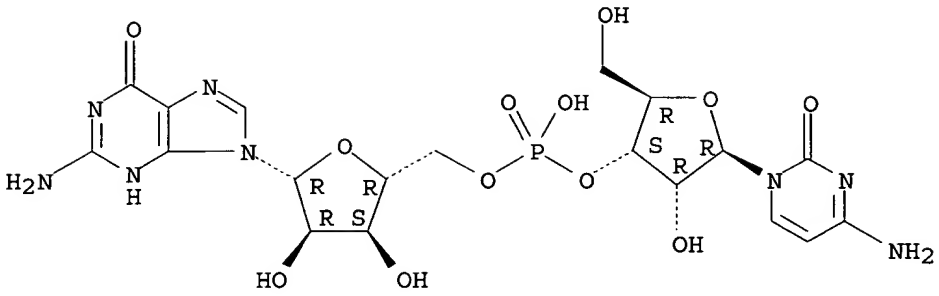
AB Cytidylyl-3',5'-guanosine (I) and acridine orange (II) crystallize in a highly-ordered triclinic lattice which diffracts x rays to 0.85 .ANG. resoln. The crystal structure was solved and refined to a residual factor of 9.5%. The 2 dinucleoside phosphate mols. form an antiparallel double helix with II intercalated between them. The 2 base pairs of the double helical fragment have a twist angle of 10.degree. and a C3' endo-(3',5')-C2' endo mixed sugar puckering along the nucleotide backbone. Twenty-five water mols. were located in the lattice together with Na+. The intercalator double-helical fragments form sheets which are held together by van der Waals interactions in 1 direction and H bonding interactions in the other. The crystal lattice contains aq. channels in which 16 water mols. are H bonded to the nucleotide, none to the intercalator, 5 water mols. are coordinated about Na+, and 4 water mols. bind solely to other water mols. The bases in the base pairs have a dihedral angle of 7-8.degree. between them.

IT **71896-49-6**
RL: PRP (Properties)
(crystal structure of)
RN 71896-49-6 CAPLUS
CN Guanosine, cytidylyl-(3'.fwdarw.5')-, compd. with N,N,N',N'-tetramethyl-3,6-acridinediamine (2:1) (9CI) (CA INDEX NAME)

CM 1

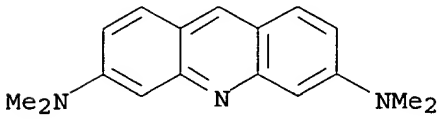
CRN 2382-65-2
CMF C19 H25 N8 O12 P

Absolute stereochemistry.



CM 2

CRN 494-38-2
CMF C17 H19 N3

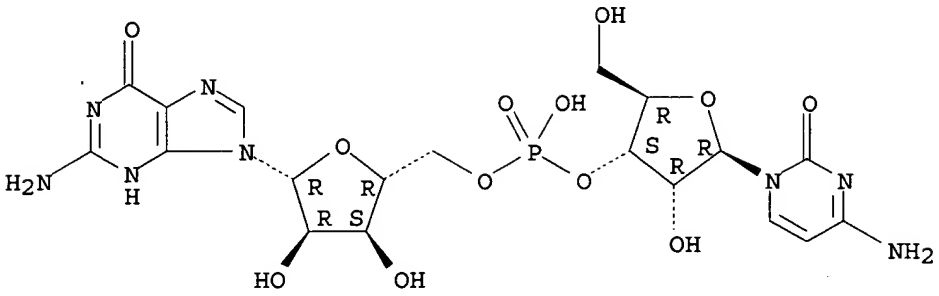


L110 ANSWER 18 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1979:605808 CAPLUS
DOCUMENT NUMBER: 91:205808
TITLE: Molecular and crystal structure of an intercalation complex: proflavine-cytidylyl-(3',5')-guanosine
AUTHOR(S): Berman, Helen M.; Stallings, W.; Carrell, H. L.; Glusker, J. P.; Neidle, S.; Taylor, G.; Achari, A.
CORPORATE SOURCE: Inst. Cancer Res., Fox Chase Cancer Cent., Philadelphia, PA, 19111, USA
SOURCE: Biopolymers (1979), 18(10), 2405-29
CODEN: BIPMAA; ISSN: 0006-3525
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 12 May 1984
AB The high-resoln. crystal and mol. structure of a 3:2 complex of proflavin and cytidylyl-(3',5')--guanosine is described. The complex exhibits >1 mode of dye binding to the dinucleoside phosphate. One proflavin cations is sym. intercalated between the base pairs. The other proflavin cations and those related by sym. stack above and below the base pairs and also bond externally to the duplex. The conformation of CpG is similar to that of A RNA with all C(3') endo sugar puckering. To allow the base pairs to stretch from the normal 3.4 .ANG. sepn. to a 6.8, .ANG. sepn., the torsion angles .phi. and .chi. of guanosine are increased by .apprx. 60.degree. from the values in RNA. The crystal structure itself contains disordered sulfate anions and is highly solvated, with all but 1 water mol. being involved in a continuous water-sulfate channel.
IT **65161-44-6**
RL: BIOL (Biological study)
(mol. and crystal structure of)
RN 65161-44-6 CAPLUS
CN Guanosine, cytidylyl-(3'.fwdarw.5')-, compd. with 3,6-acridinediamine (2:3) (9CI) (CA INDEX NAME)

CM 1

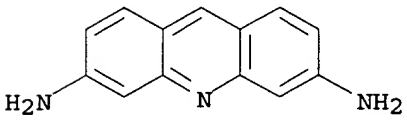
CRN 2382-65-2
CMF C19 H25 N8 O12 P

Absolute stereochemistry.



CM 2

CRN 92-62-6
CMF C13 H11 N3



L110 ANSWER 19 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1977:478625 CAPLUS
DOCUMENT NUMBER: 87:78625
TITLE: Phosphorus-31 nuclear magnetic resonance studies of actinomycin D, ethidium bromide, and 9-aminoacridine complexes with dinucleotides
AUTHOR(S): Reinhardt, Christian G.; Krugh, Thomas R.
CORPORATE SOURCE: Dep. Chem., Univ. Rochester, Rochester, NY, USA
SOURCE: Biochemistry (1977), 16(13), 2890-5
CODEN: BICHAW; ISSN: 0006-2960
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 12 May 1984
AB Phosphorus-31 nuclear magnetic resonance spectra of actinomycin D, ethidium bromide, and 9-aminoacridine complexes with deoxydinucleotides and ribodinucleoside monophosphates are reported. In the 2:1 pdGpdC-actinomycin D complex [52497-84-4], the internucleotide phosphorus resonances exhibited individual resonances in the slow exchange region at -18 .degree.C which were -1.7 and -2.4 ppm downfield of the resonance from the internucleotide P in a pdGpdC soln. under similar exptl. conditions. These complexation shifts resulted from the formation of a miniature intercalated complex. The formation of an intercalated complex of actinomycin D with the complementary mixt. of deoxydinucleotides pdGpdT and pdApdC resulted in complexation shifts of -0.2 and -0.75 ppm (-16 .degree.C) for the internucleotide phosphates of pdGpdT and pdApdC, resp. The phosphorus-31 complexation shifts were also reported for several other actinomycin D solns. with mixts. of complementary and noncomplementary deoxydinucleotides. Ethidium bromide formed miniature intercalated complexes with pdGpdG and CpG which resulted in complexation shifts of -0.1 ppm and +0.2 ppm (2:1 nucleotide-ethidium bromide solns. at 6 C), resp. A +0.15 ppm complexation shift was obsd. in a 2.2:1

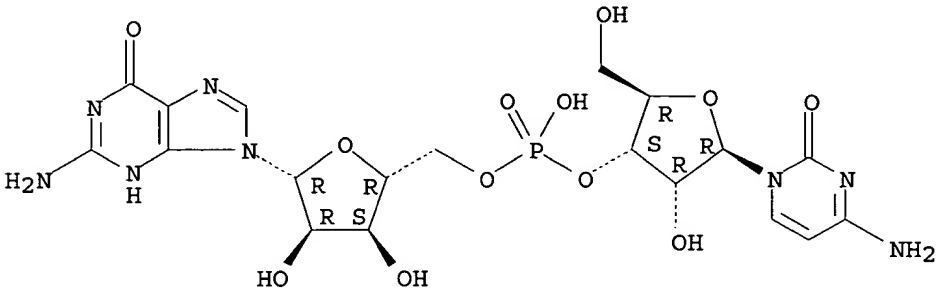
CpG-9-aminoacridine soln. at 3 .degree.C. These phosphorus-31 chem. shift data suggest that both the structure of the intercalating drug and the sequence of the nucleotides at the intercalation site influence the geometry of the intercalated complex, although at the present time it is not possible to quant. interpret these complexation shifts in terms of changes in the geometry of the sugar-phosphate backbone of the nucleic acid.

IT **63635-66-5**
RL: PRP (Properties)
(NMR spectra of)
RN 63635-66-5 CAPLUS
CN Guanosine, cytidylyl-(3'.fwdarw.5')-, compd. with 3,8-diamino-5-ethyl-6-phenylphenanthridinium bromide (2:1) (9CI) (CA INDEX NAME)

CM 1

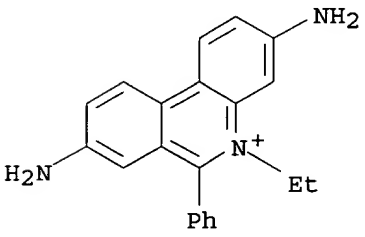
CRN 2382-65-2
CMF C19 H25 N8 O12 P

Absolute stereochemistry.



CM 2

CRN 1239-45-8
CMF C21 H20 N3 . Br



● Br^-

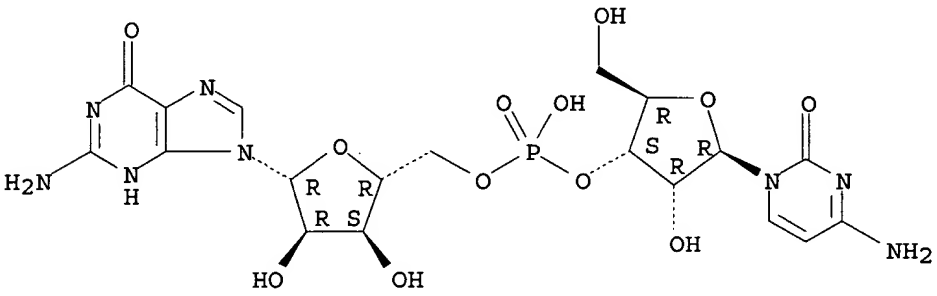
L110 ANSWER 20 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1978:15762 CAPLUS
DOCUMENT NUMBER: 88:15762
TITLE: Structure of a dinucleoside phosphate-drug complex as model for nucleic acid-drug interaction
AUTHOR(S): Neidle, S.; Achari, A.; Taylor, G. L.; Berman, Helen

M.; Carrell, H. L.; Glusker, J. P.; Stallings, W. C.
CORPORATE SOURCE: Dep. Biophys., King's Coll., London, UK
SOURCE: Nature (London, United Kingdom) (1977), 269(5626), 304-7
CODEN: NATUAS; ISSN: 0028-0836
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 12 May 1984
AB The crystal structure of a 3:2 complex of proflavin with cytidylyl-3',5'-guanosine was detd. The complex had one drug mol. intercalated between Watson-Crick base pairs of the nucleotide duplex. The other 2 proflavin mols. were bound to the exterior of the miniature double helix. The orientation of the base pairs in this miniature double helix had aspects similar to those found in RNA 11.
IT **65161-44-6**
RL: PRP (Properties)
(crystal structure of)
RN 65161-44-6 CAPLUS
CN Guanosine, cytidylyl-(3'.fwdarw.5')-, compd. with 3,6-acridinediamine (2:3) (9CI) (CA INDEX NAME)

CM 1

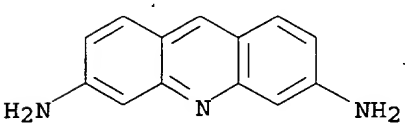
CRN 2382-65-2
CMF C19 H25 N8 O12 P

Absolute stereochemistry.



CM 2

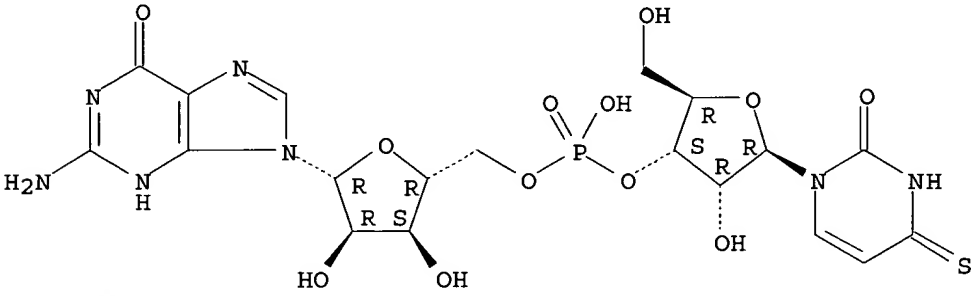
CRN 92-62-6
CMF C13 H11 N3



L110 ANSWER 21 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1976:74561 CAPLUS
DOCUMENT NUMBER: 84:74561
TITLE: The preparation and properties of 4-thiouridine containing 2'-5' and 3'-5' dinucleoside monophosphates
AUTHOR(S): Keren-Zur, M.; Levy, R.; Lapidot, Y.
CORPORATE SOURCE: Dep. Biol. Chem., Hebrew Univ., Jerusalem, Israel
SOURCE: Nucleic Acids Research (1975), 2(12), 2289-97

CODEN: NARHAD; ISSN: 0305-1048
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 12 May 1984
AB 2'-5' And 3'-5' dinucleoside monophosphates contg. 4-thiouridine were prepd. by the thiolation of the cytosine contg. compds. and purified by chromatog. on a DEAE-Sephadex column. The chromatog. and optical properties of the isomers are compared.
IT **58672-07-4P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and optical properties of)
RN 58672-07-4 CAPLUS
CN Guanosine, 4-thiouridylyl-(3'.fwdarw.5')- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

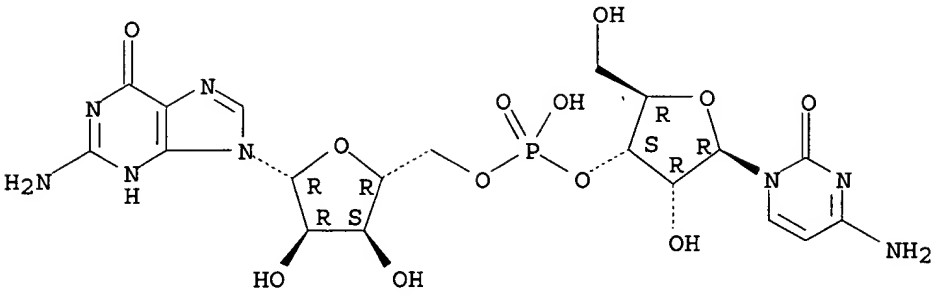


L110 ANSWER 22 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1975:171322 CAPLUS
DOCUMENT NUMBER: 82:171322
TITLE: X-ray crystallographic visualization of drug-nucleic acid intercalative binding. Structure of an ethidium-dinucleoside monophosphate crystalline complex, ethidium: 5-iodouridylyl(3'-5')adenosine
AUTHOR(S): Tsai, Chun-Che; Jain, Shri C.; Sobell, Henry M.
CORPORATE SOURCE: Dep. Chem., Univ. Rochester, Rochester, NY, USA
SOURCE: Proceedings of the National Academy of Sciences of the United States of America (1975), 72(2), 628-32
CODEN: PNASA6; ISSN: 0027-8424
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 12 May 1984
AB We have cocrystd. the drug, ethidium bromide, with 5-iodouridylyl-(3'.fwdarw.5')-adenosine and have solved the three-dimensional structure to atomic resolution by x-ray crystallog. This has allowed the direct visualization of intercalative binding by this drug to a fragment of a nucleic acid double helix.
IT **55628-66-5**
RL: PRP (Properties)
(crystal structure of)
RN 55628-66-5 CAPLUS
CN Guanosine, cytidylyl-(3'.fwdarw.5')-, compd. with 3,8-diamino-5-ethyl-6-phenylphenanthridinium bromide (1:1) (9CI) (CA INDEX NAME)

CM 1

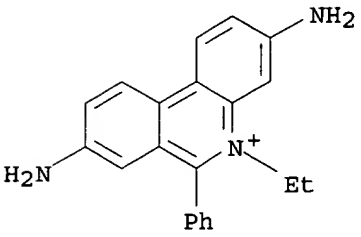
CRN 2382-65-2
CMF C19 H25 N8 O12 P

Absolute stereochemistry.



CM 2

CRN 1239-45-8
CMF C21 H20 N3 . Br



● Br^-

L110 ANSWER 23 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1970:44054 CAPLUS
DOCUMENT NUMBER: 72:44054
TITLE: Oligonucleotidic compounds. XXXV. Reaction of diribonucleoside phosphates with dimethylformamide acetals
AUTHOR(S): Holy, Antonin; Zemlicka, Jiri
CORPORATE SOURCE: Cesk. Akad. Ved, Prague, Czech.
SOURCE: Collection of Czechoslovak Chemical Communications (1969), 34(12), 3921-35
CODEN: CCCCAK; ISSN: 0010-0765
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 12 May 1984
AB NH4 (Et3NH, BuMe3N) salts of some diribonucleoside phosphates (U pU, UpC, UpA, UpI, ApU, GpU, CpI, and CpX) contg. uridine (I), inosine, or xanthosine were methylated with Me2NCH(OMe)2 (II) in HCONMe2 (or Me2SO) at 60.degree. to the corresponding derivs. of N3-methyluridine (III), N1-methylinosine, and N-methylxanthosine (in the last case, the exact position of the Me group was not detd.). The methylation was not accompanied by any isomerization of the 3' .fwdarw. 5' internucleotide linkage or any other side-reactions. After 3 (19) hr at 60.degree., II and UpU NH4 salt gave 27 (15) % uridylyl-(3' .fwdarw. 5')-N3-methyluridine (IV), 27 (18) % N3-methyluridylyl-(3' .fwdarw. 5')-uridine, 29 (60) % N3-methyluridylyl-(3' .fwdarw. 5')-N3-methyluridine, and 17 (7) % UpU.

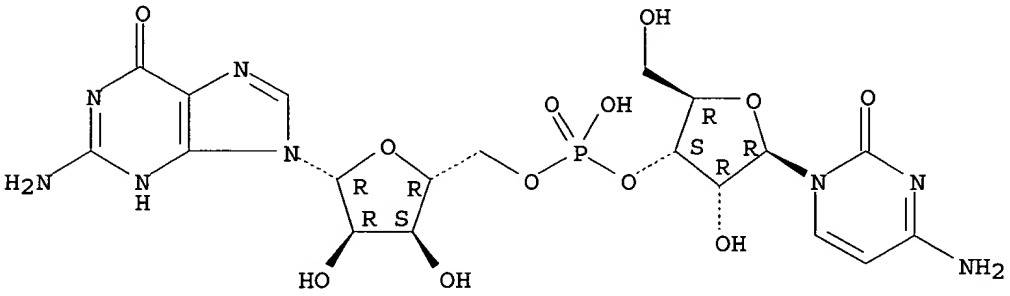
After 5 hr at 60.degree., II and UpI NH4 salt gave 7% uridylyl-(3' .fwdarw. 5')-N1-methylinosine, 33% N3-methyluridylyl-(3' .fwdarw. 5')-inosine, 43% N3-methyluridylyl-(3' .fwdarw. 5')-N1-methylinosine (V), and 17% UpI (after 18 hr, 100% V resulted). UpC NH4 salt and II (12 hr at 60.degree.) gave 100% N3-methyluridylyl-(3' .fwdarw. 5')-cytidine. UpA NH4 salt and II (24 hr at 60.degree.) gave 75% N3-methyluridylyl-(3' r 5')-adenosine. ApU and II (12 hr at 60.degree.) gave 100% adenosine-(3' .fwdarw. 5')-N3-methyl uridine. GpU Et3NH salt (7 hr at 60.degree.) gave 95% guanosine-(3' .fwdarw. 5')-N3-me thyluridine. The internucleotide linkage of some diribonucleoside phosphates (NH4 sal ts) derived from cytidine 3'-phosphate (CpU, CpA, and CpC) was cleav ed by II at 60.degree. or Me2NCH(OCH2CMe3)2 (VI) at 80.degree. with the formation of cytidine 2',3'-cyclic phosphate (VII) and the corresponding nucleoside (or its N-Me deriv.). Thus, the reaction of CpU NH4 salt and II (6 hr at 60.degree.) gave 2.5% cytidylyl-(3' .fwdarw. 5')-N3-methyluridine, 73% VII, 21% cytidine 2'(3')-phosphate Me ester, 3.5% CpU, 25% I, and 75% III. CpG, ApC, 2'-deoxycytidylyl-(3' .fwdarw. 5')-adenosine, cytidylyl-(3' .fwdarw. 5')-8-bromoinosine (VIII), and cytidylyl-(3' .fwdarw. 5')-8-dimethylaminoinosine (IX) did not react with II or VI. CpU Bu3MeN salt and II (18 hr at 60.degree.) gave 90% cytidylyl-(3' .fwdarw. 5')-N3-methyluridine. CpI, CpX, VIII, and IX were prepd. by the N,N'-dicyclohexyl-carbodiimide condensation of N4,O2',O5'-triacetylcytidine 3'-phosphate with 2',3'-O-ethoxymethyleneinosine, 2',3'-O-eth-oxymethylenexanthosine, 2',3'-O-ethoxymethylene-8-bromoinosine, and 2',3'-O-ethoxymethylene-8-dimethylaminoinosine, resp., deacetylation (with aq. NH3), and removal of the ethoxymethylene group with aq. AcOH. IV was prepd. similarly from 2',5'-di-O-acetyluridine 3'-phosphate and 2',3'-O-ethoxymethylene-N3-methyluridine. The stability of the 3' .fwdarw. 5' internucleotide linkage in various diribonucleoside phosphates or their derivs. to II or VI is discussed.

IT **27553-01-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with dimethylformamide acetals)

RN 27553-01-1 CAPLUS

CN Guanosine, cytidylyl-(3'.fwdarw.5')-, monoammonium salt (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



● NH_3

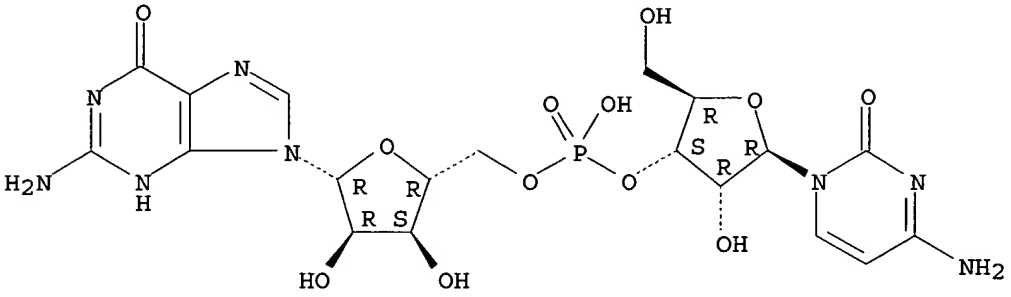
L110 ANSWER 24 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1968:444166 CAPLUS
DOCUMENT NUMBER: 69:44166
TITLE: Oligonucleotidic compounds. XXIII. Protected derivatives of guanosine and adenosine 3'-phosphates.

A synthesis of diribonucleoside phosphates starting from adenosine and guanosine derivatives bearing a free NH2 group

AUTHOR(S): Brimacombe, R.; Kemper, W.; Jaouni, T.; Smrt, J.
CORPORATE SOURCE: Ceskoslov. Akad. Ved, Prague, Czech.
SOURCE: Collection of Czechoslovak Chemical Communications (1968), 33(6), 2074-86
CODEN: CCCCAK; ISSN: 0010-0765
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 12 May 1984
AB A mixt. of 9.8 g. guanosine 2',3'-(phosphate) dicyclohexylguanidinium salt, 40 ml. HCONMe2, 40 ml. C5H5N, and 17 ml. Ac2O shaken at room temp. 6 hrs. gave 5'-O-acetylguanosine 2,'3'-phosphate which with T1 ribonuclease yielded 85% 5'-O-acetylguanosine 3'-phosphate (I). A suspension of 9.2 g. I Ca salt, 200 ml. HCONMe2, and 80 ml. dihydropyran treated dropwise at -70.degree. with 41 millimoles HCl in 30 ml. HCONMe2, the mixt. kept at room temp. 40 hrs., treated with 6 ml. Et3N, and dild. with 1000 ml. Et2O gave 93% 5'-O-acetyl-N2,O2-bis(tetrahydropyranyl)guanosine 3'-phosphate (II) Ca salt. When excess HCl was used, 5'-O-acetyl-tris(tetrahydropyranyl)guanosine 3'-phosphate resulted. A suspension of 3.47 g. adenosine 3'-phosphate, 40 ml. HCONMe2, and 20 ml. EtOCH:CH2 treated dropwise at -70.degree. with 6 ml. F3CCO2H, the mixt. kept 20 hrs. at 0.degree., cooled to -70.degree., treated dropwise with 20 ml. Et3N, the mixt. evapd. at 30.degree./0.1 mm., the residue dissolved in 60 ml. MeOH, and the soln. added to 10 g. F3CCO2Ag in 1800 ml. Et2O gave 5.3 g. N6,O2,O5'-tris(1-ethoxyethyl)adenosine 3'-phosphate (III) Ag salt. The dicyclohexylcarbodiimide condensation of II pyridinium salt in C5H5N-HCONMe2 with 2',3'-O-(p-methoxybenzylidene)adenosine, 2',3'-(O-p-methoxybenzylidene)guanosine, and 2',3'-(O-p-methoxybenzylidene)uridine gave 38% N2,O2'-bis(tetrahydropyranyl)guanylyl-(3' .fwdarw. 5')-2',3'-O-(p-methoxybenzylidene)adenosine, 48% N2,O2'-bis(tetrahydropyranyl)guanylyl-(3' .fwdarw. 5')-2',3'-O-(p-methoxybenzylidene)guanosine, and 36% N2,O2'-bis(tetrahydropyranyl)guanyly l-(3' .fwdarw. 5')-2',3'-O-(p-methoxybenzylidene)uridine, resp., isolated as Ca salts. Similarly, III pyridinium salt (in C5H5N) gave 25% N6,O2',O5'-tris(1-ethoxyethyl)adenylyl-(3' .fwdarw. 5')-2',3'-O-(p-methoxybenzylidene)adenosine, 58% N6,O2',O5'-tris(1-ethoxyethyl)adenylyl-(3' .fwdarw. 5')-2',3'-O-(p-methoxybenzylidene)guanosine (IV), and 38% N6,O2',O5'-tris(1-ethoxyethyl)adenylyl-(3' .fwdarw. 5')-2',3'-O-(p-methoxybenzylidene)uridine, resp. N4,O5'-Diacetyl-O2'-(tetrahydropyranyl)cytidine 3'-phosphate pyridinium salt gave analogously 42% O2'-(tetrahydropyranyl)cytidylyl-(3' .fwdarw. 5')-2',3'-O-(p-methoxybenzylidene)adenosine,65% O2'-(tetrahydropyranyl)cytidylyl-(3' .fwdarw. 5')-2',3'-O-(p-methoxybenzylidene)guanosine (V), and 56% O2'-(tetrahydropyranyl)cytidylyl-(3' .fwdarw. 5')-2',3'-O-(p-methoxybenzylidene)uridine, resp. Similarly, O2'-(tetrahydropyranyl)-O5'-acetyluridine 3'-phosphate pyridinium salt gave 60% O2'-(tetrahydropyranyl)uridylyl-(3' .fwdarw. 5')-2',3'-O-(p-methoxybenzylidene)adenosine, 64% O2'-(tetrahydropyranyl)uridylyl-(3' .fwdarw. 5')-2',3'-O-(p-methoxybenzylidene)guanosine, and 75% O2'-(tetrahydropyranyl)uridylyl-(3' .fwdarw. 5')-2',3'-O-(p-methoxybenzylidene)uridine, resp. Because of the relative stability of the p-MeOC6H4CH: group, 0.05M HCl was used to prep. the free diribosylnucleoside phosphates from the above Ca salts of protected derivs. Since IV and V were insol. in 0.05M HCl, the deblocking was performed by a brief (5 min.) action of 95% F3CCO2H in analogy to the conversion of O2'-(tetrahydropyranyl)uridylyl-(3' .fwdarw. 5')-2',3'-O-(ethoxymethylene)uridine to UpU (in 89% yield). The final ApA, ApG, ApU, CpA, CpG, CpU, GpA, GpG, GpU, UpA, UpG, and UpU were isolated as ammonium salts and contained only trace amts. of the 2',5'-disphosphate as shown by their degradation with ribonuclease T2.

IT **21052-28-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 21052-28-8 CAPLUS
CN Guanosine, cytidylyl-(3'.fwdarw.5')-, ammonium salt (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



●x NH_3

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=> fil capl; d que 129; d que 131; d que 138;d que 142
FILE 'CAPLUS' ENTERED AT 15:50:20 ON 27 APR 2004
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text search

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FILE COVERS 1907 - 27 Apr 2004  VOL 140 ISS 18
FILE LAST UPDATED: 26 Apr 2004  (20040426/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE


L25          933 SEA FILE=CAPLUS ABB=ON  PHOSPHOROTHIOATE OLIGODEOXYRIBONUCLEOTI
                 DES+OLD/CT
L26        12500 SEA FILE=CAPLUS ABB=ON  IMMUNOSTIMULANTS/CT
L27         2160 SEA FILE=CAPLUS ABB=ON  IMMUNOSTIMULATION/CT
L28        32352 SEA FILE=CAPLUS ABB=ON  STRUCTURE-ACTIVITY RELATIONSHIP/CT
L29            6 SEA FILE=CAPLUS ABB=ON  L25 AND (L26 OR L27) AND L28



L25          933 SEA FILE=CAPLUS ABB=ON  PHOSPHOROTHIOATE OLIGODEOXYRIBONUCLEOTI
                 DES+OLD/CT
L26        12500 SEA FILE=CAPLUS ABB=ON  IMMUNOSTIMULANTS/CT
L27         2160 SEA FILE=CAPLUS ABB=ON  IMMUNOSTIMULATION/CT
L30           22 SEA FILE=CAPLUS ABB=ON  L25(L)ANALOG?/OBI
L31            3 SEA FILE=CAPLUS ABB=ON  L30 AND (L26 OR L27)



L9           209 SEA FILE=REGISTRY ABB=ON  (1123-95-1/BI OR 147-81-9/BI OR
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L10             4 SEA FILE=REGISTRY ABB=ON  L9 AND RSD/FA
L26         12500 SEA FILE=CAPLUS ABB=ON  IMMUNOSTIMULANTS/CT
L27          2160 SEA FILE=CAPLUS ABB=ON  IMMUNOSTIMULATION/CT
L35           535 SEA FILE=CAPLUS ABB=ON  L10/D
L38             5 SEA FILE=CAPLUS ABB=ON  L35 AND (L26 OR L27)



L39             1 SEA FILE=REGISTRY ABB=ON  ARABINOSE/CN
L41          6491 SEA FILE=CAPLUS ABB=ON  L39
L42             2 SEA FILE=CAPLUS ABB=ON  L41(L)OLIGONUCLEOTIDE#/OBI


=> s l29 or l31 or l38 or l42

L123           14 L29 OR L31 OR L38 OR L42

=> fil uspatf; d que l99;d que l102; d que l105

FILE 'USPATFULL' ENTERED AT 15:50:22 ON 27 APR 2004
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 27 Apr 2004 (20040427/PD)
FILE LAST UPDATED: 27 Apr 2004 (20040427/ED)
HIGHEST GRANTED PATENT NUMBER: US6728968
HIGHEST APPLICATION PUBLICATION NUMBER: US2004078858
CA INDEXING IS CURRENT THROUGH 27 Apr 2004 (20040427/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 27 Apr 2004 (20040427/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2004
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2004

>>>  USPAT2 is now available.  USPATFULL contains full text of the     <<<
>>>  original, i.e., the earliest published granted patents or         <<<
>>>  applications.  USPAT2 contains full text of the latest US         <<<
>>>  publications, starting in 2001, for the inventions covered in     <<<
>>>  USPATFULL.  A USPATFULL record contains not only the original     <<<
>>>  published document but also a list of any subsequent              <<<
>>>  publications.  The publication number, patent kind code, and      <<<
>>>  publication date for all the US publications for an invention     <<<
>>>  are displayed in the PI (Patent Information) field of USPATFULL   <<<
>>>  records and may be searched in standard search fields, e.g., /PN, <<<
>>>  /PK, etc.                                                         <<<

>>>  USPATFULL and USPAT2 can be accessed and searched together        <<<
>>>  through the new cluster USPATALL.  Type FILE USPATALL to          <<<
>>>  enter this cluster.                                               <<<
>>>                                                                    <<<
>>>  Use USPATALL when searching terms such as patent assignees,       <<<
>>>  classifications, or claims, that may potentially change from      <<<
>>>  the earliest to the latest publication.                           <<<
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This file contains CAS Registry Numbers for easy and accurate substance identification.

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L10           4 SEA FILE=REGISTRY ABB=ON  L9 AND RSD/FA
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L88         121 SEA FILE=USPATFULL ABB=ON  IMMUNOSTIMULATION/CT
L98          85 SEA FILE=USPATFULL ABB=ON  L10/D
L99           2 SEA FILE=USPATFULL ABB=ON  L98 AND (L87 OR L88)


L87        3267 SEA FILE=USPATFULL ABB=ON  IMMUNOSTIMULANTS/CT
L88         121 SEA FILE=USPATFULL ABB=ON  IMMUNOSTIMULATION/CT
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                OTIDES/CT
L101        842 SEA FILE=USPATFULL ABB=ON  STRUCTURE-ACTIVITY RELATIONSHIP/CT
L102          1 SEA FILE=USPATFULL ABB=ON  L100 AND L101 AND (L87 OR L88)


L26       12500 SEA FILE=CAPLUS ABB=ON  IMMUNOSTIMULANTS/CT
L27        2160 SEA FILE=CAPLUS ABB=ON  IMMUNOSTIMULATION/CT
L100        180 SEA FILE=USPATFULL ABB=ON  PHOSPHOROTHIOATE OLIGODEOXYRIBONUCLE
                OTIDES/CT
L104          3 SEA FILE=USPATFULL ABB=ON  L100(L)ANALOG?/IT
L105          2 SEA FILE=USPATFULL ABB=ON  L104 AND (L26 OR L27)


=> s l99 or l102 or l105

L124          4 L99 OR L102 OR L105
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=> dup rem l123,l124
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FILE 'USPATFULL' ENTERED AT 15:50:33 ON 27 APR 2004
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)
PROCESSING COMPLETED FOR L123
PROCESSING COMPLETED FOR L124
L125          16 DUP REM L123 L124 (2 DUPLICATES REMOVED)
                 ANSWERS '1-14' FROM FILE CAPLUS
                 ANSWERS '15-16' FROM FILE USPATFULL

=> d ibib ed ab hitrn 1-16; fil hom

L125 ANSWER 1 OF 16  CAPLUS  COPYRIGHT 2004 ACS on STN DUPLICATE 1
ACCESSION NUMBER:         2002:736889  CAPLUS
DOCUMENT NUMBER:          137:273194
TITLE:                    Modulation of immunostimulatory activity of
                          immunostimulatory oligonucleotide analogs by
                          positional chemical changes
INVENTOR(S):              Kandimalla, Ekambar R.; Zhao, Qiuyan; Yu, Dong;
                          Agrawal, Sudhir
PATENT ASSIGNEE(S):       USA
SOURCE:                   U.S. Pat. Appl. Publ., 41 pp., Cont.-in-part of U.S.
                          Ser. No. 712,898.
                          CODEN: USXXCO
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   2
PATENT INFORMATION:

     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
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     US 2002137714      A1   20020926       US 2001-965116   20010926
PRIORITY APPLN. INFO.:                   US 2000-235452P  P  20000926
                                         US 2000-235453P  P' 20000926
                                         US 2000-712898   A2 20001115
OTHER SOURCE(S):          MARPAT 137:273194
ED   Entered STN:  27 Sep 2002
AB   The invention relates to the therapeutic use of oligonucleotides or
     oligonucleotide analogs as immunostimulatory agents in immunotherapy
     applications.  The invention provides methods for enhancing the immune
     response caused by immunostimulatory oligonucleotide compds.  A study of
     the structure-activity relationships of modified CpG oligodeoxynucleotide
     phosphorothioates was made.

L125 ANSWER 2 OF 16  CAPLUS  COPYRIGHT 2004 ACS on STN DUPLICATE 2
ACCESSION NUMBER:         1997:597468  CAPLUS
DOCUMENT NUMBER:          127:229658
TITLE:                    Immune stimulation by phosphorothioate oligonucleotide
                          analogs
INVENTOR(S):              Hutcherson, Stephen L.; Glover, Josephine M.
PATENT ASSIGNEE(S):       Isis Pharmaceuticals, Inc., USA
SOURCE:                   U.S., 10 pp., Cont.-in-part of U. S. Ser. No. 217,988.
                          CODEN: USXXAM
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   2
PATENT INFORMATION:
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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5663153	A	19970902	US 1995-467930	19950606
US 5723335	A	19980303	US 1996-712135	19960911

PRIORITY APPLN. INFO.: US 1994-217988 A2 19940325
ED Entered STN: 18 Sep 1997
AB Methods of stimulating a local immune response in selected cells or tissues employing immunopotentiating oligonucleotide analogs having at least one phosphorothioate internucleotide bond are provided. Methods of enhancing the efficacy of a therapeutic treatment by stimulating a local immune response in selected cells or tissues employing oligonucleotide analogs having at least one phosphorothioate bond are also provided. The oligonucleotide analogs may have antisense efficacy in addn. to immunopotentiating activity. Methods of modulating cytokine release in skin cells and immunopotentiators which include oligonucleotide analogs having at least one phosphorothioate bond capable of eliciting a local inflammatory response are also provided. Thus, ISIS 2105 (a phosphorothioate 20-mer complementary to the translation initiation site of both human papillomavirus types 6 and 11 mRNA encoding the E2 open reading frame) was evaluated in rats, mice, and humans and shown to enhance humoral responses. To evaluate its pharmacokinetics, 14C-labeled ISIS 2105 was injected intradermally in genital warts (condyloma acuminata) in male patients. Concns of .apprx.1 .mu.M/wart were therapeutically effective, and intact ISIS 2105 was localized at the site of injection with rapid absorption but prolonged retention time in wart tissue. ISIS 2105 was also evaluated for surgical adjuvant therapy in genital warts.

L125 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:913036 CAPLUS
DOCUMENT NUMBER: 139:394872
TITLE: Lipid-methylated CpG-containing nucleic acids for expansion or activation of dendritic cells or antigen-presenting cells and as vaccine adjuvant
INVENTOR(S): Tam, Ying K.; Semple, Sean; Klimuk, Sandra; Chikh, Ghania
PATENT ASSIGNEE(S): Inex Pharmaceuticals Corporation, Can.
SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003094963	A2	20031120	WO 2003-CA678	20030512
WO 2003094963	A3	20040212		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003125292	A1	20030703	US 2002-290545	20021107
US 2004009943	A1	20040115	US 2003-437263	20030512

US 2004009944	A1	20040115	US 2003-437275	20030512
US 2004013649	A1	20040122	US 2003-437258	20030512

PRIORITY APPLN. INFO.:

US 2002-379343P	P	20020510
US 2002-290545	A	20021107
US 2003-460646P	P	20030404
US 1999-151211P	P	19990827
US 2000-176406P	P	20000113
US 2000-649527	A	20000828
US 2001-337522P	P	20011107
US 2003-454298P	P	20030312

ED Entered STN: 21 Nov 2003

AB The invention discloses that methylated nucleic acids, particularly methylated oligonucleotides, and more particularly methylated oligonucleotides bearing a methylated cytosine of a CpG dinucleotide motif can be made immunostimulatory in vivo, by encapsulation of the nucleic acid in a lipid particle. It is further disclosed that encapsulated methylated nucleic acids that are ordinarily not immunostimulatory in vivo are as effective or even more effective than their encapsulated unmethylated counterparts. Also disclosed are methods for activating and/or expanding dendritic cell populations in response to antigenic stimulation using the compns. and methods disclosed herein.

IT **71-30-7D**, Cytosine, methylated derivs.
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(lipid-methylated CpG-contg. nucleic acids for expansion or activation of dendritic cells or antigen-presenting cells and as vaccine adjuvant)

L125 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:356046 CAPLUS
DOCUMENT NUMBER: 138:363908
TITLE: Polypeptides and polynucleotides (cDNAs) of human angiopoietin-like 1 (ANGPTL1) and angiopoietin-like 2 (ANGPTL2) proteins, their sequences, and biological, diagnostic, and therapeutic uses
INVENTOR(S): Esguerra, Camila V.
PATENT ASSIGNEE(S): Mermaid Pharmaceuticals Gmbh, Germany
SOURCE: Eur. Pat. Appl., 63 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1308511	A1	20030507	EP 2002-21286	20020919

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

PRIORITY APPLN. INFO.:

US 2001-335362P	P	20011031

ED Entered STN: 09 May 2003

AB The invention presents methods which involving using angiopoietin-like 1 (ANGPTL1) and angiopoietin-like 2 (ANGPTL2) genes for altering clin. status. In particular, the invention provides pharmaceutical compns. and antisense oligomers comprising polynucleotide and amino acids sequences of genes ANGPTL1 and ANGPTL2. The invention also provides the use of ANGPTL1 and ANGPTL2 polypeptides and polynucleotides in methods designed for: (a) screening for therapeutic agents which can be used in treatment of blood-related disorders, such as leukemia or anemia, or in treatment of defects in vasculature; (b) modulating proliferation, differentiation and/or cell death of cells, such as hematopoietic stem cells, and erythroid or endothelial cells; and (c) detg. whether a subject is at risk for said blood-related disorder or defects in vasculature. The invention further provides use of said pharmaceutical compns. and identified

therapeutic agents in treatment of said blood-related disorders and/or in defects of vasculature in a patient. Still further, the invention provides transgenic non-human animals lacking functional ANGPTL1 or ANGPTL2, and methods for their generation. Finally, the invention provides amino acid and cDNA sequences of human ANGPTL1 or ANGPTL2, mouse ARP2 (angiopoietin-related 2) protein, and Danio rerio ANGPTL1.

IT **147-81-9**, Arabinose
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(used to modify at least one sugar moiety; antisense **oligonucleotides** specific for ANGPTL1, ARP2 and ANGPTL2 mRNAs, modifications, sequences and uses thereof)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:676339 CAPLUS
DOCUMENT NUMBER: 137:210942
TITLE: Use of immunostimulatory CpG island-containing nucleic acids for treatment of diseases
INVENTOR(S): Schetter, Christian; Vollmer, Jorg
PATENT ASSIGNEE(S): Coley Pharmaceutical Group, Ltd., Bermuda
SOURCE: PCT Int. Appl., 148 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002069369	A2	20020906	WO 2001-IB2888	20011210
WO 2002069369	A3	20030626		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1350262	A2	20031008	EP 2001-273824	20011210
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003181406	A1	20030925	US 2002-140013	20020506

PRIORITY APPLN. INFO.: US 2000-254341P P 20001208
WO 2001-IB2888 W 20011210

OTHER SOURCE(S): MARPAT 137:210942
ED Entered STN: 08 Sep 2002
AB Immunostimulatory compns. described as CpG-like nucleic acids are provided, including nucleic acids having immunostimulatory characteristics of CpG nucleic acid, despite certain substitutions of C, G, or C and G of the CpG dinucleotide. The substitutions can include, among others, exchange of methylated C for C, inosine for G, and ZpY for CpG, where Z is Cytosine or dSpacer and Y is inosine, 2-aminopurine, nebularine, or dSpacer. Also provided are methods for inducing an immune response in a subject using the CpG-like nucleic acids. The methods are useful in the treatment of a subject that has or is at risk of developing an infectious disease, allergy, asthma, cancer, anemia, thrombocytopenia, or neutropenia.

IT **71-30-7D**, Cytosine, 2'-alkoxy
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(in drug delivery systems; use of immunostimulatory CpG island-contg. nucleic acids for treatment of diseases)

L125 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:256277 CAPLUS
DOCUMENT NUMBER: 136:304033
TITLE: Modulation of immunostimulatory activity of immunostimulatory oligonucleotide analogs by positional chemical changes
INVENTOR(S): Kandimalla, Ekambar R.; Zhao, Quiyan; Yu, Dong; Agrawal, Sudhir
PATENT ASSIGNEE(S): Hybridon, Inc., USA
SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002026757	A2	20020404	WO 2001-US30137	20010926
WO 2002026757	A3	20030103		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001094750	A5	20020408	AU 2001-94750	20010926
EP 1322656	A2	20030702	EP 2001-975423	20010926
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004509970	T2	20040402	JP 2002-531140	20010926

PRIORITY APPLN. INFO.:
US 2000-235452P P 20000926
US 2000-235453P P 20000926
US 2000-712898 A 20001115
WO 2001-US30137 W 20010926

OTHER SOURCE(S): MARPAT 136:304033
ED Entered STN: 05 Apr 2002
AB The invention relates to the therapeutic use of oligonucleotides or oligonucleotide analogs as immunostimulatory agents in immunotherapy applications. The invention provides methods for enhancing the immune response caused by immunostimulatory oligonucleotide compds. Examples are provided on immunostimulatory activity of oligonucleotides in mouse lymphocyte proliferation assays and in vivo on mouse spleen wt. Structure-activity relationships are discussed.
IT **71-30-7D**, Cytosine, N4-alkyl derivs., oligonucleotides comprising
147-81-9D, Arabinose, **oligonucleotides** comprising
591-28-6D, 4-Thiouracil, oligonucleotides comprising
1123-95-1D, 5-Hydroxymethylcytosine, oligonucleotides comprising
75321-30-1D, 5-Hydroxycytosine, oligonucleotides comprising
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**oligonucleotides** and **oligonucleotide** analogs as immunostimulatory agents)

L125 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:385387 CAPLUS
DOCUMENT NUMBER: 137:45661
TITLE: Phosphodiester CpG oligonucleotides as adjuvants: polyguanosine runs enhance cellular uptake and improve immunostimulative activity of phosphodiester CpG oligonucleotides in vitro and in vivo
AUTHOR(S): Dalpke, Alexander H.; Zimmermann, Stefan; Albrecht, Inka; Heeg, Klaus
CORPORATE SOURCE: Institute of Medical Microbiology and Hygiene, Philipps-University Marburg, Marburg, 35037, Germany
SOURCE: Immunology (2002), 106(1), 102-112
CODEN: IMMUAM; ISSN: 0019-2805
PUBLISHER: Blackwell Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 23 May 2002
AB Bacterial DNA and oligonucleotides (ODN) contg. CpG-motifs strongly activate cells of the immune system. Accordingly CpG-DNA is a powerful adjuvant in vaccination protocols for B-cell as well as for cytotoxic T-cell responses. A decisive propensity of CpG-DNA is its capacity to induce preferentially T helper type 1 (Th1)-dominated immune responses. To exert its function CpG-DNA has to be taken up by responsive cells, e.g. antigen-presenting cells (APC). The rate of uptake is influenced by the DNA's backbone modification and critically dets. activity of CpG-DNA. CpG ODN with a phosphothioate backbone (PTO) are currently used for most in vivo and in vitro studies, since PTO modification protects ODN from the attack of nucleases. However, after administration of PTO-modified CpG-ODN long-lasting effects including lymphadenopathy as well as sustained local interferon-.gamma. (IFN-.gamma.) and interleukin-12 (IL-12) prodn. have been reported. To circumvent these restrictions we investigated the effects of DNA sequence as well as DNA backbone modification on cellular uptake and resulting immunostimulation. We show here that uptake of phosphodiester (PO)-CpG-ODN can be strongly enhanced by poly guanosine runs added at the 3' end of the ODN. In addn. these ODN showed an improved immunostimulatory activity in vivo and in vitro. This included protection of mice against lethal Th2-dependent leishmaniasis as well as priming of antigen specific Th1 responses. More importantly, guanosine-rich PO-CpG-ODN neither induced lymphadenopathy nor prolonged cytokine prodn. after local administration. Since these improved PO ODN are efficient in vitro and in vivo and lack long lasting undesired effects they could be used preferably as adjuvants in vaccination protocols.
REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:322284 CAPLUS
DOCUMENT NUMBER: 135:174657
TITLE: Effect of chemical modifications of cytosine and guanine in a CpG-motif of oligonucleotides: structure-immunostimulatory activity relationships
AUTHOR(S): Kandimalla, Ekambar R.; Yu, Dong; Zhao, Qiuyan; Agrawal, Sudhir
CORPORATE SOURCE: Hybridon Inc., Cambridge, MA, 02139, USA
SOURCE: Bioorganic & Medicinal Chemistry (2001), 9(3), 807-813
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 07 May 2001
AB Oligodeoxynucleotides contg. unmethylated CpG-motifs stimulate the innate immune system, including inducing B-cell proliferation and cytokine prodn.

However, the mechanism of immunostimulation by CpG-oligonucleotides and the precise structural requirements and specific functional groups of cytosine and guanine necessary for recognition of and interaction with protein/receptor factors that are responsible for immune stimulation have not been elucidated. We sought to understand the crit. role of each functional group of the cytosine and guanine moieties in a CpG-motif in inducing immunostimulatory activity. To this end, we examd. structure-immunostimulatory activity relationships of phosphorothioate oligodeoxynucleotides (PS-oligos) contg. YpG- and CpR-motifs (Y and R stand for pyrimidine and purine analogs, resp.). The PS-oligos contg. a YpG-motif in which the natural deoxycytidine was replaced with deoxy-5-hydroxycytidine or deoxy-N4-ethylcytidine showed immunostimulatory activity. Substitution of deoxycytidine with a deoxy-5-methylisocytidine, deoxyuridine, or deoxy-P-base-nucleoside in the YpG-motif completely abolished the immunostimulatory activity, similar to the results obsd. with deoxy-5-methylcytidine. In the case of PS-oligos contg. a CpR-motif, 7-deazaguanine substitution for natural guanine showed immunostimulatory activity similar to that of a parent PS-oligo. These studies suggest that the 2-keto, 3-imino and 4-amino groups of cytosine, and the 1-imino, 2-amino and 6-keto groups of guanine in a CpG-motif are important for the immunostimulatory activity of CpG-PS-oligos. The absence of N7 on guanine of the CpG-motif does not affect immunostimulatory activity significantly. These studies suggest that it is possible to develop YpG- and CpR-motifs as an alternative to CpG-motifs in PS-oligos for immunostimulatory studies.

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:832449 CAPLUS
DOCUMENT NUMBER: 134:231490
TITLE: Accessible 5'-end of CpG-containing Phosphorothioate Oligodeoxynucleotides is essential for immunostimulatory activity
AUTHOR(S): Yu, D.; Zhao, Q.; Kandimalla, E. R.; Agrawal, S.
CORPORATE SOURCE: Hybridon, Inc., Cambridge, MA, 02139, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(23), 2585-2588
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 29 Nov 2000
AB In the authors ongoing efforts to decipher the sequence and structural requirements in the flanking region of the CpG motif in phosphorothioate oligodeoxynucleotides (PS-oligos), the authors have examd. the requirement of free 5'- and 3'-ends of PS-oligos on immune stimulation. Our model studies using 3'-3'-linked (contg. two free 5'-ends) and 5'-5'-linked (contg. two free 3'-ends) CpG-contg. PS-oligos demonstrate that immunostimulatory activity is significantly reduced when the 5'-end of the PS-oligo is not accessible, rather than the 3'-end, suggesting that the 5'-end plays a crit. role in immunostimulatory activity.
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:81333 CAPLUS
DOCUMENT NUMBER: 132:260630
TITLE: Chemically modified oligonucleotides exhibit decreased immune stimulation in mice
AUTHOR(S): Henry, Scott; Stecker, Kim; Brooks, Doug; Monteith, David; Conklin, Boyd; Bennett, C. Frank

CORPORATE SOURCE: Isis Pharmaceuticals, Inc., Carlsbad, CA, USA
SOURCE: Journal of Pharmacology and Experimental Therapeutics (2000), 292(2), 468-479
CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 03 Feb 2000
AB Phosphorothioate oligodeoxynucleotides produce splenomegaly and mononuclear cell infiltrates in multiple organs in mice after repeated i.v. administration. Several phosphorothioate oligodeoxynucleotides were studied to better understand the basis of immunostimulatory properties of these mols. in mice and to study the effects of chem. modified oligonucleotides. Chem. modifications examd. included 5-Me cytosine and 2'-methoxyethoxy substituents. Male mice (six per group) were treated with oligonucleotide concns. of 0,2,10, or 50 mg/kg by i.v. injection every other day for 14 days. Immune stimulation was assessed 24 h after the last dose by measuring spleen wt., or histol. and immunohistochem. examn. of liver and kidney. Immune stimulation was dose-dependent for the phosphorothioate oligodeoxynucleotides studied, but potency varied as a function of sequence. Results from this study reveal that there is a close correlation between the extent of splenomegaly and other evidence of immune stimulation, such as the severity of cell infiltrates in liver and kidney in mice. Immunohistochem. anal. indicated that cell infiltrates in liver and kidney were primarily mononuclear cells assocd. with increased expression of the endothelial-leukocyte cellular adhesion mol. intracellular adhesion mol.-1 and the cytokine interleukin-6. Immune stimulation was markedly decreased with oligonucleotides contg. the 5-Me cytosine and further decreased by 2'-methoxyethoxy modifications. Administration of these modified oligonucleotides to mice did not produce splenomegaly even at the 50-mg/kg dose, and only produced minimal cell infiltrates despite the presence of comparable or greater tissue oligonucleotide concns. Thus, chem. modifications appeared to increase the tolerability profile for these compds. that are representative of the second generation of antisense oligonucleotides.
REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L125 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:784115 CAPLUS
DOCUMENT NUMBER: 132:18784
TITLE: Immunostimulatory oligonucleotides with modified cytosine, and methods of use thereof
INVENTOR(S): Schwartz, David
PATENT ASSIGNEE(S): Dynavax Technologies Corporation, USA
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9962923	A2	19991209	WO 1999-US12538	19990604
WO 9962923	A3	20010531		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD,

RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6562798	B1	20030513	US 1999-324191	19990601
CA 2330225	AA	19991209	CA 1999-2330225	19990604
EP 1121373	A2	20010808	EP 1999-927241	19990604
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
AU 760304	B2	20030515	AU 1999-44194	19990604
AU 9944194	A1	19991220		

PRIORITY APPLN. INFO.: US 1998-88310P P 19980605
US 1999-324191 A 19990601
WO 1999-US12538 W 19990604

ED Entered STN: 10 Dec 1999

AB Immunomodulatory oligonucleotide compns. are disclosed. These oligonucleotides comprise an immunostimulatory hexanucleotide sequence including a modified cytosine. These oligonucleotides can be administered in conjunction with an immunomodulatory peptide or antigen. Methods of modulating an immune response upon administration of the oligonucleotide comprising a modified immunostimulatory sequence are also disclosed.

IT **71-30-7D**, Cytosine, derivs.
RL: BOC (Biological occurrence); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence)
(immunostimulatory oligonucleotides with modified cytosine, and methods of use)

L125 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:813720 CAPLUS
DOCUMENT NUMBER: 130:65226
TITLE: Immunostimulatory oligonucleotides, compositions thereof and methods of use thereof
INVENTOR(S): Schwartz, David; Roman, Mark; Dina, Dino
PATENT ASSIGNEE(S): Dynavax Technologies Corp., USA
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9855495	A2	19981210	WO 1998-US11578	19980605
WO 9855495	A3	19990527		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9878178	A1	19981221	AU 1998-78178	19980605
AU 753172	B2	20021010		
EP 986572	A2	20000322	EP 1998-926311	19980605
EP 986572	B1	20031022		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6225292	B1	20010501	US 1998-92314	19980605
JP 2002517156	T2	20020611	JP 1999-502884	19980605
AT 252596	E	20031115	AT 1998-926311	19980605

EP 1374894 A2 20040102 EP 2003-20257 19980605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY
US 2002086839 A1 20020704 US 2001-770943 20010125
PRIORITY APPLN. INFO.: US 1997-48793P P 19970606
EP 1998-926311 A3 19980605
US 1998-92314 A1 19980605
WO 1998-US11578 W 19980605

ED Entered STN: 31 Dec 1998
AB The invention relates to immunostimulatory oligonucleotide compns. These oligonucleotides comprise an immunostimulatory octanucleotide sequence. These oligonucleotides can be administered in conjunction with an immunostimulatory peptide or antigen. Methods for modulating an immune response upon administration of the oligonucleotide are also disclosed. In addn., an in vitro screening method to identify oligonucleotides with immunostimulatory activity is provided. Compns. contg. the immunostimulatory oligonucleotide, antigen, adjuvant and co-stimulatory mol. (e.g. cytokine) are useful for treating cancer, allergy, asthma, viral infection, bacterial infection, and parasitic infection.
IT **71-30-7D**, Cytosine, modified
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. contg. immunostimulatory oligonucleotides, antigen, adjuvant, and costimulatory mol. for treating cancer, asthma, and infections)

L125 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:473041 CAPLUS
DOCUMENT NUMBER: 127:214580
TITLE: Immune stimulation - a class effect of phosphorothioate oligodeoxynucleotides in rodents
AUTHOR(S): Monteith, David K.; Henry, Scott P.; Howard, Randy B.; Flournoy, Shin; Levin, Arthur A.; Bennett, C. Frank; Crooke, Stanley T.
CORPORATE SOURCE: Isis Pharmaceuticals, Carlsbad, CA, 92008, USA
SOURCE: Anti-Cancer Drug Design (1997), 12(5), 421-432
CODEN: ACDDEA; ISSN: 0266-9536
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 26 Jul 1997
AB Treatment of rodents with phosphorothioate oligodeoxynucleotides induces a form of immune stimulation characterized by splenomegaly, lymphoid hyperplasia, hypergammaglobulinemia and mixed mononuclear cellular infiltrates in numerous tissues. Immune stimulation was evaluated in mice with in vivo and in vitro studies using a review of historical data and specific in vivo and in vitro studies. All phosphorothioate oligodeoxynucleotides evaluated induced splenomegaly and B-lymphocyte proliferation. Splenomegaly and B-lymphocyte proliferation increased with dose or concn. of oligodeoxynucleotide. Splenomegaly appeared to occur, at least in part, as a result of stimulation of B-lymphocyte proliferation. There were differences with respect to degree or potency of immune stimulation by different oligodeoxynucleotides. The rank order potencies for B-lymphocyte proliferation in vitro and splenomegaly correlated well for the oligodeoxynucleotides tested. Particular oligodeoxynucleotide sequence motifs or palindromes have been demonstrated to affect in vitro cell proliferation. Inclusion of a 5'-AACGTT-3' palindrome in a phosphorothioate oligodeoxynucleotide sequence significantly enhanced the potency. While inclusion of this palindrome or a CpG motif alone may contribute to the immune stimulation, these palindromes and motifs were clearly not the sole factor required for immune stimulation. Several phosphorothioate oligodeoxynucleotides that did not contain a CpG motif still induced immune stimulation in mice. The

immune stimulation induced by phosphorothioate oligodeoxynucleotides was an effect of this class of compds. to which rodents are acutely sensitive.

L125 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:969589 CAPLUS
DOCUMENT NUMBER: 124:777
TITLE: Immune stimulation by phosphorothioate oligonucleotide analogs
INVENTOR(S): Hutcherson, Stephen L.; Glover, Josephine M.
PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9526204	A1	19951005	WO 1995-US3547	19950316
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5723335	A	19980303	US 1996-712135	19960911

PRIORITY APPLN. INFO.: US 1994-217988 A 19940325
ED Entered STN: 08 Dec 1995
AB Methods of stimulating a local immune response in selected cells or tissues employing immunopotentiating oligonucleotide analogs having at least one phosphorothioate internucleotide bond are provided. Methods of enhancing the efficacy of a therapeutic treatment by stimulating a local immune response in selected cells or tissues employing oligonucleotide analogs having at least one phosphorothioate bond are also provided. The oligonucleotide analogs may have antisense efficacy in addn. to immunopotentiating activity. Methods of modulating cytokine release in skin cells and immunopotentiators which include oligonucleotide analogs having at least one phosphorothioate bond capable of eliciting a local inflammatory response are also provided. Thus, ISIS 2105 (a phosphorothioate 20-mer complementary to the translation initiation of both human papillomavirus types 6 and 11 mRNA encoded by the E2 open reading frame) was evaluated in rats, mice, humans and shown to enhance humoral responses. To evaluate its pharmacokinetics, 14C-labeled ISIS 2105 was injected intradermally in genital warts (condyloma acuminata) in male patients. Concns. of .perp. .mu.M were therapeutically effective, and intact ISIS 2105 was localized at the site of injection with rapid absorption but prolonged retention time in wart tissue. ISIS 2105 was also evaluated as surgical adjuvant therapy in genital warts.

L125 ANSWER 15 OF 16 USPATFULL on STN
ACCESSION NUMBER: 2003:258353 USPATFULL
TITLE: CpG-like nucleic acids and methods of use thereof
INVENTOR(S): Schetter, Christian, Hilden, GERMANY, FEDERAL REPUBLIC OF
Vollmer, Jorg, Duesseldorf, GERMANY, FEDERAL REPUBLIC OF

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003181406	A1	20030925
APPLICATION INFO.:	US 2002-140013	A1	20020506 (10)

RELATED APPLN. INFO.: Continuation of Ser. No. WO US148281, PENDING

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-254341P	20001208 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: WOLF GREENFIELD & SACKS, PC, FEDERAL RESERVE PLAZA, 600 ATLANTIC AVENUE, BOSTON, MA, 02210-2211
NUMBER OF CLAIMS: 77
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 18 Drawing Page(s)
LINE COUNT: 5222
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Immunostimulatory compositions described as CpG-like nucleic acids are provided, including nucleic acids having immunostimulatory characteristics of CpG nucleic acid, despite certain substitutions of C, G, or C and G of the CpG dinucleotide. The substitutions can include, among others, exchange of methylated C for C, inosine for G, and ZpY for CpG, where Z is cytosine or dSpacer and Y is inosine, 2-aminopurine, nebularine, or dSpacer. Also provided are methods for inducing an immune response in a subject using the CpG-like nucleic acids. The methods are useful in the treatment of a subject that has or is at risk of developing an infectious disease, allergy, asthma, cancer, anemia, thrombocytopenia, or neutropenia.

IT **71-30-7D**, Cytosine, 2'-alkoxy
(in drug delivery systems; use of immunostimulatory CpG island-contg. nucleic acids for treatment of diseases)

L125 ANSWER 16 OF 16 USPATFULL on STN
ACCESSION NUMBER: 2003:129923 USPATFULL
TITLE: Immunostimulatory oligonucleotides with modified bases and methods of use thereof
INVENTOR(S): Schwartz, David, Encinitas, CA, United States
PATENT ASSIGNEE(S): Dynavax Technologies Corp., Berkeley, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6562798	B1	20030513	
APPLICATION INFO.:	US 1999-324191		19990601	(9)

	NUMBER	DATE	
PRIORITY INFORMATION:	US 1998-88310P	19980605	(60)

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: McGarry, Sean
ASSISTANT EXAMINER: Zara, Jane
LEGAL REPRESENTATIVE: Morrison & Foerster LLP
NUMBER OF CLAIMS: 67
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 4 Drawing Figure(s); 4 Drawing Page(s)
LINE COUNT: 2022
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Immunomodulatory oligonucleotide compositions are disclosed. These oligonucleotides comprise an immunostimulatory hexanucleotide sequence comprising a modified cytosine. These oligonucleotides can be administered in conjunction with an immunomodulatory peptide or antigen. Methods of modulating an immune response upon administration of the oligonucleotide comprising a modified immunostimulatory sequence are also disclosed.

IT **71-30-7D**, Cytosine, derivs.
(immunostimulatory oligonucleotides with modified cytosine, and methods of use)

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